09830923

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS	5	MAY 11	KOREAPAT updates resume
NEWS	6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS	8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS	9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS	13	JUl 14	FSTA enhanced with Japanese patents
NEWS	14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 14:37:04 ON 06 SEP 2006

Updated Search

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.42       0.42

FILE 'REGISTRY' ENTERED AT 14:38:25 ON 06 SEP 2006
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STRUCTURE FILE UPDATES:    5 SEP 2006  HIGHEST RN 905905-44-4
DICTIONARY FILE UPDATES:   5 SEP 2006  HIGHEST RN 905905-44-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

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experimental property data in the original document.  For information
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http://www.cas.org/ONLINE/UG/regprops.html

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L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SEARCH INITIATED 14:39:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    21638 TO ITERATE

  9.2% PROCESSED     2000 ITERATIONS                          2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       423956 TO   441564
PROJECTED ANSWERS:             153 TO      711

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Updated Search

09830923

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FULL SCREEN SEARCH COMPLETED -   430544 TO ITERATE

100.0% PROCESSED   430544 ITERATIONS                                10 ANSWERS
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=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   167.38     167.80

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 6 Sep 2006  VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006  (20060905/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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Updated Search

09830923

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l3/?pharm?
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Answer sets created in a different file may be field qualified with a
limited set of qualifiers.  Enter HELP CROSSOVER at an arrow prompt
(=>) for specific information.

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L5   ANSWER 1 OF 7  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2006:464621  HCAPLUS
DOCUMENT NUMBER:          144:488655
TITLE:                    Preparation of 8H-imidazo[4,5-d]thiazolo[4,5-
                          b]pyridine derivatives as IKK inhibitors for treatment
                          of inflammatory and immune diseases
INVENTOR(S):              Dyckman, Alaric; Pitts, William J.; Belema, Makonen;
                          Gill, Patrice; Kempson, James; Qiu, Yuping; Quesnelle,
                          Claude; Spergel, Steven H.; Zusi, F. Christopher
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 67 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     WO 2006053120         A1    20060518    WO 2005-US40726         20051110
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
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             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
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         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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PRIORITY APPLN. INFO.:                       US 2004-627761P     P  20041112
OTHER SOURCE(S):          MARPAT 144:488655
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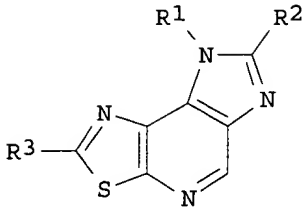
Updated Search



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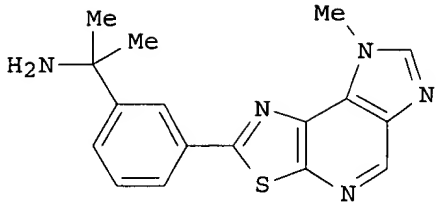


II

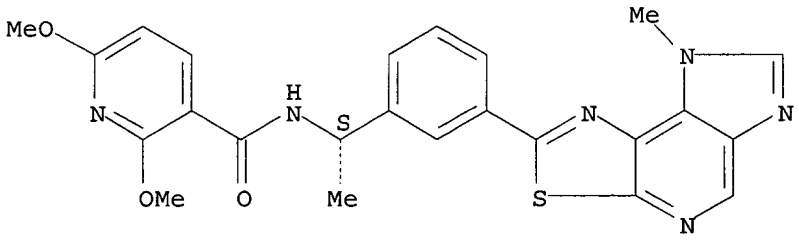
AB The title 8H-imidazo[4,5-d]thiazolo[4,5-b]pyridine derivs. I [wherein R1 = H, alkyl, alkenyl, or alkynyl; R2 = H, halo, CN, (un)substituted alkyl, alkenyl, alkoxy, aryloxy, etc.; R3 = 3-substituted phenyl], or their enantiomers, diastereomers, and salts thereof were prepared as IKK inhibitors for the treatment of inflammatory and immune diseases. For example, II was prepared in a multi-step synthesis. The compds. showed inhibitory activity against IKK, IκB, NF-κB, and/or TNF-α (no data).

IT 887253-17-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazothiazolopyridine derivs. as IKK inhibitors for treatment of inflammatory and immune diseases)

RN 887253-17-0 HCAPLUS

CN 3-Pyridinecarboxamide, 2,6-dimethoxy-N-[(1S)-1-[3-(8-methyl-8H-imidazo[4,5-d]thiazolo[5,4-b]pyridin-2-yl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:912843 HCAPLUS

DOCUMENT NUMBER: 139:381756

TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; Mccormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua

PATENT ASSIGNEE(S): Schering Corporation, USA; Dendreon Corporation

Updated Search

09830923

SOURCE: U.S. Pat. Appl. Publ., 629 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003216325	A1	20031120	US 2001-908955	20010719
US 2004254117	A9	20041216		
US 7012066	B2	20060314		
CN 1498224	A	20040519	CN 2001-813111	20010719
ZA 2002010312	A	20040329	ZA 2002-10312	20021219

PRIORITY APPLN. INFO.: US 2000-220108P P 20000721
OTHER SOURCE(S): MARPAT 139:381756
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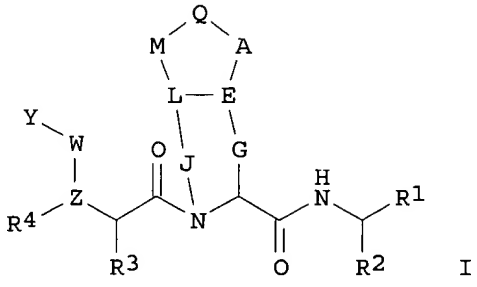

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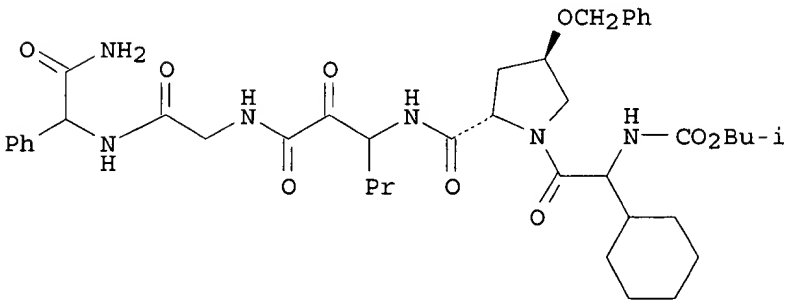


II

AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH,

Updated Search

NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared by the solid-phase method and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

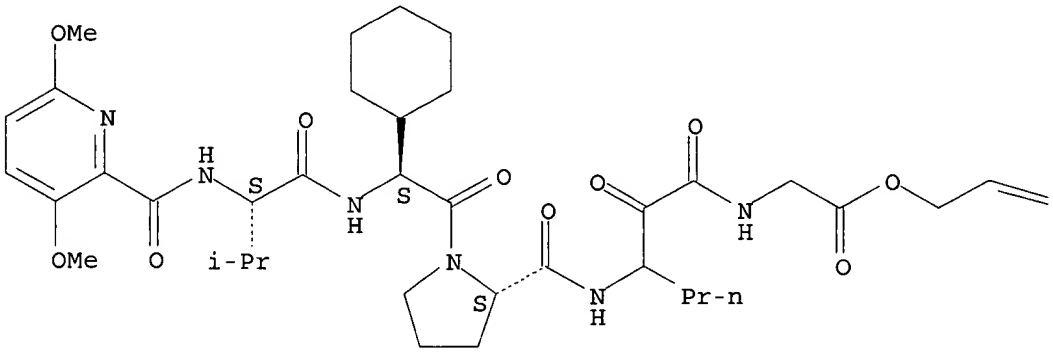
IT 394720-42-4P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394720-42-4 HCAPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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REFERENCE COUNT: 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:591204 HCAPLUS
DOCUMENT NUMBER: 139:149928
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhn, Viyyoor M.; Lovey,

Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, George F.; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Wong, Jesse K.; Nair, Latha G.

PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.; Dendreon Corp.

SOURCE: PCT Int. Appl., 633 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003062265	A2	20030731	WO 2003-US1430		20030116
WO 2003062265	A3	20040916			
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CN 1633446	A	20050629	CN 2003-805933		20030116
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NO 2004002792	A	20041015	NO 2004-2792		20040702
PRIORITY APPLN. INFO.:			US 2002-52386	A	20020118
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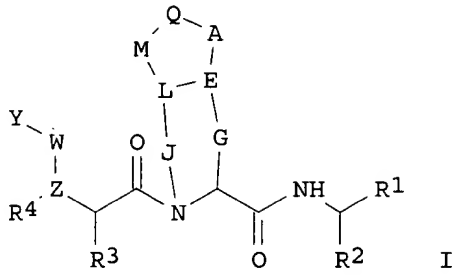
OTHER SOURCE(S): MARPAT 139:149928
GI



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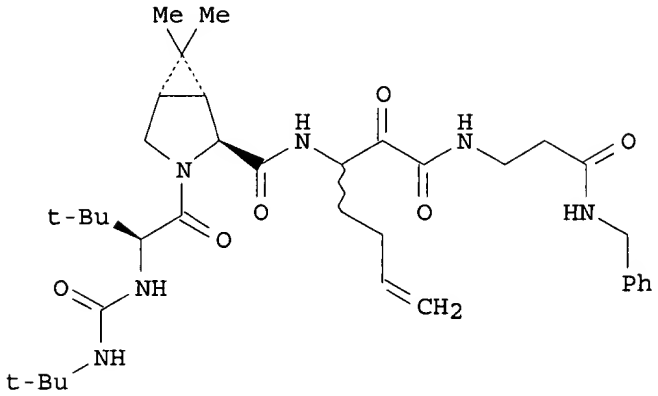


II

AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is selected from O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

IT 394720-42-4P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

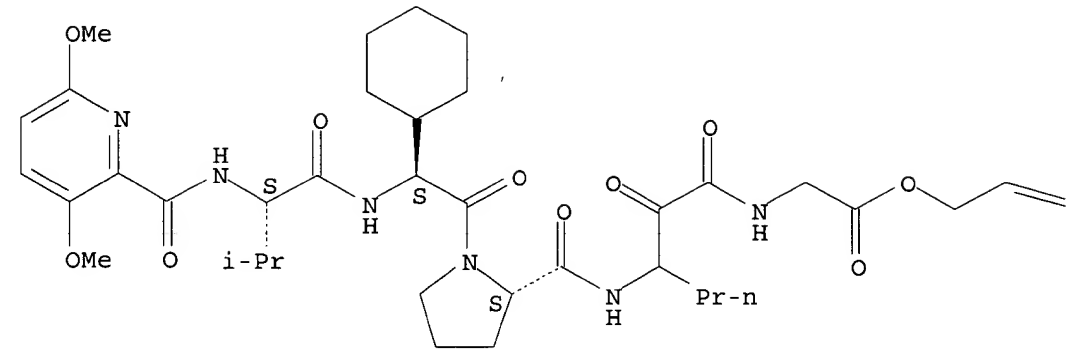
RN 394720-42-4 HCAPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

PAGE 1-A



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L5 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:90062 HCAPLUS
DOCUMENT NUMBER: 136:167698
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.
PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.
SOURCE: PCT Int. Appl., 536 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008244	A2	20020131	WO 2001-US22678	20010719
WO 2002008244	A3	20030619		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

Updated Search

CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA

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JP 2004504404	T2	20040212	JP 2002-514149	20010719
CN 1498224	A	20040519	CN 2001-813111	20010719
NZ 523782	A	20051028	NZ 2001-523782	20010719
ZA 2002010312	A	20040329	ZA 2002-10312	20021219
NO 2003000272	A	20030321	NO 2003-272	20030120
PRIORITY APPLN. INFO.:			US 2000-220108P P	20000721
			WO 2001-US22678 W	20010719

OTHER SOURCE(S): MARPAT 136:167698

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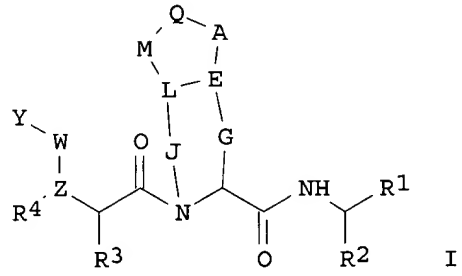

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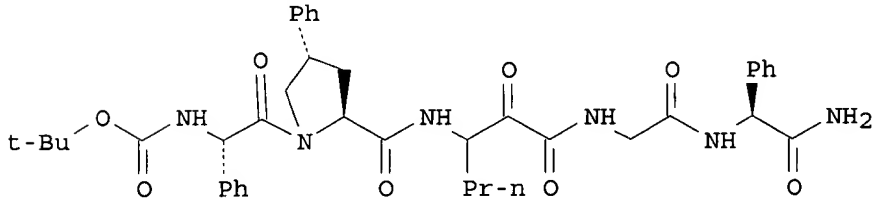


II

AB Peptides I were prepared wherein Y is alkyl, alkyl-aryl, heteroaryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy,, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino and heterocycloalkylamino; R1 is acyl, borate; Z is selected from O, N, CH or CR; W, Q, G, J, L, M independently maybe present or absent; W is C=O, C=S, C(=N-CN), or SO; Q is CH, N, P, alkylidene, O, amine,S, or SO; A is O, CH, alkylidene, amine, S, SO or bond; E is CH, N, alkylidene, or double bond; G is alkylidene; J is alkylidene, SO, NH, NR, O; L is CH, alkylidene, O, S or NR; M is O, NR,S, SO, alkylidene; p is 0 to 6; and R-R4 are independently selected from the

Updated Search

group consisting of H; alkyl; alkenyl; cycloalkyl; heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen; (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus peptide II was prepared and tested as antiviral agent and NS3-serine protease inhibitors of hepatitis C virus with Ki ranges in category A = 1-100 nM; category B = 101-1,000 nM; category C > 1000 nM. Also disclosed is the use of I for the manufacture of a medicament for treating HCV, AIDS, and related disorders.

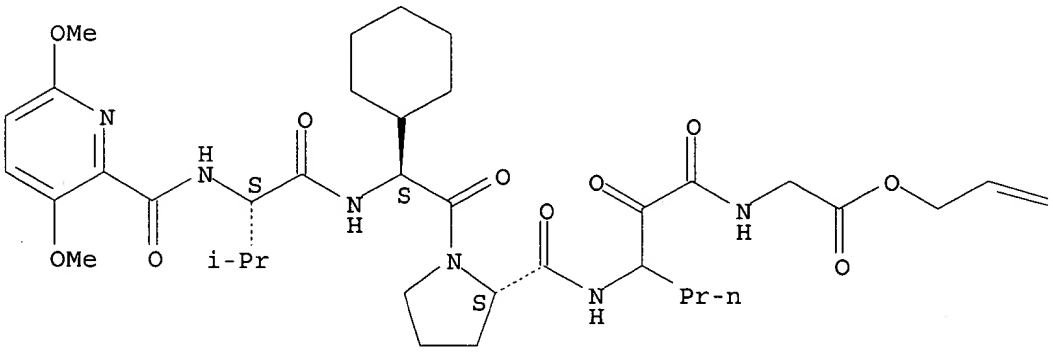
IT 394720-42-4P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394720-42-4 HCAPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

$=CH_2$

L5 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:819241 HCAPLUS
DOCUMENT NUMBER: 132:64530
TITLE: Preparation of diacyl hydrazine compounds as protease

Updated Search

09830923

inhibitors

INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9966925	A1	19991229	WO 1999-US14561		19990624
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2335876	AA	19991229	CA 1999-2335876		19990624
AU 9947237	A1	20000110	AU 1999-47237		19990624
EP 1093367	A1	20010425	EP 1999-930779		19990624
R: BE, CH, DE, ES, FR, GB, IT, LI, NL					
JP 2002518444	T2	20020625	JP 2000-555611		19990624
PRIORITY APPLN. INFO.:			US 1998-90493P	P	19980624
			WO 1999-US14561	W	19990624

OTHER SOURCE(S): MARPAT 132:64530

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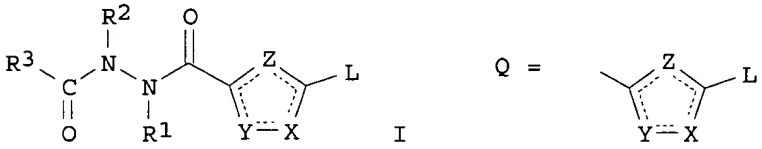


I

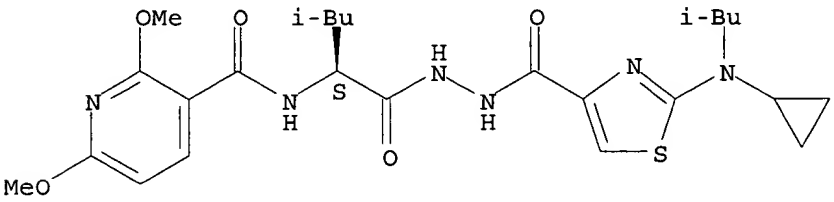
AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)amino]thiazol-4-ylcarbonyl]-N'-[N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanyl]hydrazide was prepared via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

IT 253314-50-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

Updated Search

use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diacyl hydrazine compds. as protease inhibitors)
RN 253314-50-0 HCAPLUS
CN 4-Thiazolecarboxylic acid, 2-[cyclopropyl(2-methylpropyl)amino]-, 2-[(2S)-2-[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:753058 HCAPLUS
DOCUMENT NUMBER: 132:426
TITLE: Diacyl carbohydrazide compounds as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation
INVENTOR(S): Halbert, Stacie Marie; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9959570	A1	19991125	WO 1998-US17275		19980820
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2332492	AA	19991125	CA 1998-2332492		19980820
AU 9891102	A1	19991206	AU 1998-91102		19980820
EP 1079821	A1	20010307	EP 1998-943273		19980820
R: BE, CH, DE, ES, FR, GB, IT, LI, NL					
JP 2002515428	T2	20020528	JP 2000-549235		19980820
PRIORITY APPLN. INFO.:			US 1998-86553P	P	19980521
			WO 1998-US17275	W	19980820

OTHER SOURCE(S): MARPAT 132:426
AB The present invention provides diacyl carbohydrazide compds., and pharmaceutically acceptable salts, hydrates and solvates thereof, which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., novel intermediates of such compds., and methods for treating

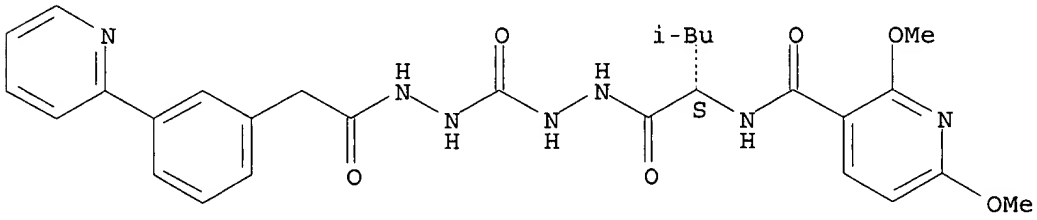
diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention.

IT 250726-27-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diacyl carbohydrazide compds. as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation)

RN 250726-27-3 HCAPLUS

CN Benzeneacetic acid, 3-(2-pyridinyl)-, 2-[[2-[(2S)-2-[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:268513 HCAPLUS
DOCUMENT NUMBER: 128:321945
TITLE: Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

Updated Search

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2268391		AA	19980430	CA 1997-2268391	19971017
ZA 9709327		A	19980511	ZA 1997-9327	19971017
AU 9851477		A1	19980515	AU 1998-51477	19971017
AU 719984		B2	20000518		
EP 932617		A1	19990804	EP 1997-946273	19971017
EP 932617		B1	20020116		
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BR 9712544		A	19991019	BR 1997-12544	19971017
CN 1238780		A	19991215	CN 1997-180151	19971017
CN 1133649		B	20040107		
NZ 335276		A	20000929	NZ 1997-335276	19971017
JP 2001502694		T2	20010227	JP 1998-519568	19971017
EP 1136498		A1	20010926	EP 2001-109433	19971017
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
AP 1019		A	20011016	AP 1999-1512	19971017
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AT 212037		E	20020215	AT 1997-946273	19971017
ES 2169880		T3	20020716	ES 1997-946273	19971017
EE 4023		B1	20030415	EE 1999-161	19971017
TW 530065		B	20030501	TW 1997-86115382	19971018
NO 9901832		A	19990617	NO 1999-1832	19990416
US 6265380		B1	20010724	US 1999-293247	19990416
KR 2000049263		A	20000725	KR 1999-703372	19990417
HK 1023779		A1	20020927	HK 2000-100690	20000203
US 2002032175		A1	20020314	US 2001-875390	20010606
US 6617309		B2	20030909		
US 2004266731		A1	20041230	US 2003-607716	20030627
PRIORITY APPLN. INFO.:				US 1996-28290P	P 19961018
				EP 1997-946273	A3 19971017
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				US 2001-875390	A3 20010606

OTHER SOURCE(S): MARPAT 128:321945

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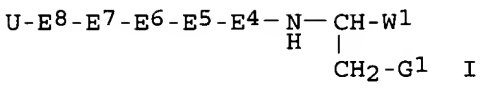

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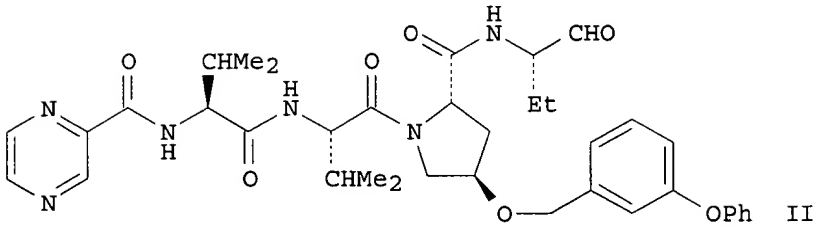

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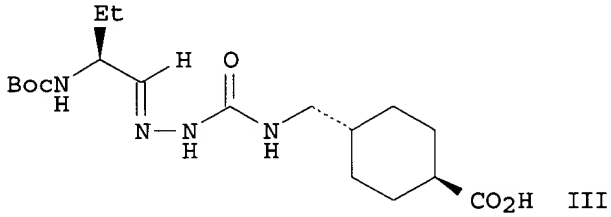


III

AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COCO2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki <1 μM in an in vitro assay.

IT 207001-81-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

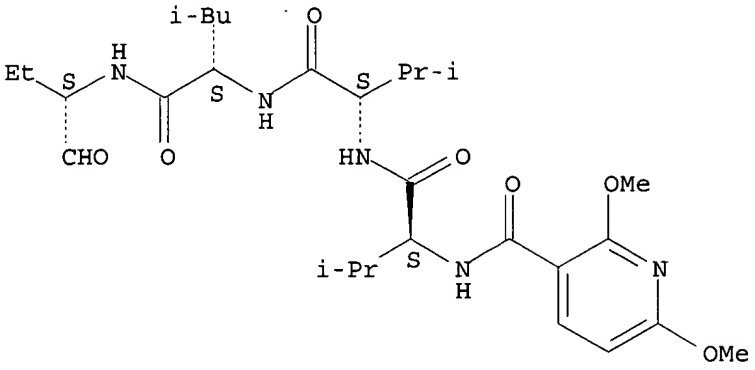
RN 207001-81-8 HCAPLUS

CN L-Leucinamide, N-[(2,6-dimethoxy-3-pyridinyl)carbonyl]-L-valyl-L-valyl-N-

09830923

[(1S)-1-formylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3 10 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 14:39:39 ON 06 SEP 2006
L4 13 S L3
L5 7 S L3/THU
L6 7 S L3/THU OR L3/DMA
L7 6 S L3/PAC
L8 3 S L5 NOT L7

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L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:819241 HCAPLUS
DOCUMENT NUMBER: 132:64530
TITLE: Preparation of diacyl hydrazine compounds as protease inhibitors
INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966925	A1	19991229	WO 1999-US14561	19990624

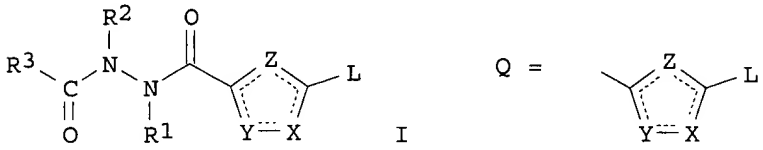
Updated Search

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2335876	AA	19991229	CA 1999-2335876		19990624
AU 9947237	A1	20000110	AU 1999-47237		19990624
EP 1093367	A1	20010425	EP 1999-930779		19990624
R: BE, CH, DE, ES, FR, GB, IT, LI, NL					
JP 2002518444	T2	20020625	JP 2000-555611		19990624
PRIORITY APPLN. INFO.:			US 1998-90493P	P	19980624
			WO 1999-US14561	W	19990624

OTHER SOURCE(S): MARPAT 132:64530

GI



I

AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)amino]thiazol-4-ylcarbonyl]-N'-[N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanyl]hydrazide was prepared via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

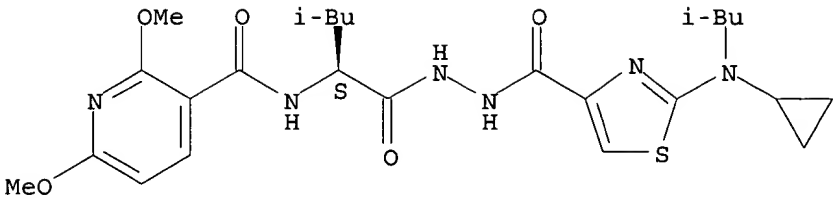
IT 253314-50-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diacyl hydrazine compds. as protease inhibitors)

RN 253314-50-0 HCAPLUS

CN 4-Thiazolecarboxylic acid, 2-[cyclopropyl(2-methylpropyl)amino]-, 2-[(2S)-2-[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09830923



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:753058 HCAPLUS
DOCUMENT NUMBER: 132:426
TITLE: Diacyl carbohydrazide compounds as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation
INVENTOR(S): Halbert, Stacie Marie; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9959570	A1	19991125	WO 1998-US17275		19980820
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2332492	AA	19991125	CA 1998-2332492		19980820
AU 9891102	A1	19991206	AU 1998-91102		19980820
EP 1079821	A1	20010307	EP 1998-943273		19980820
R: BE, CH, DE, ES, FR, GB, IT, LI, NL					
JP 2002515428	T2	20020528	JP 2000-549235		19980820
PRIORITY APPLN. INFO.:			US 1998-86553P	P	19980521
			WO 1998-US17275	W	19980820

OTHER SOURCE(S): MARPAT 132:426

AB The present invention provides diacyl carbohydrazide compds., and pharmaceutically acceptable salts, hydrates and solvates thereof, which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., novel intermediates of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention.

IT 250726-27-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

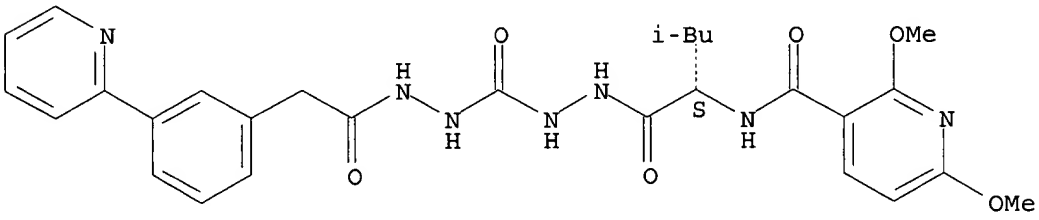
Updated Search

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diacyl carbohydrazide compds. as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation)

RN 250726-27-3 HCAPLUS

CN Benzeneacetic acid, 3-(2-pyridinyl)-, 2-[[2-[(2S)-2-[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:268513 HCAPLUS

DOCUMENT NUMBER: 128:321945

TITLE: Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease

INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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ZA 9709327	A	19980511	ZA 1997-9327	19971017
AU 9851477	A1	19980515	AU 1998-51477	19971017
AU 719984	B2	20000518		
EP 932617	A1	19990804	EP 1997-946273	19971017
EP 932617	B1	20020116		

Updated Search

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BR	9712544	A	19991019	BR 1997-12544		19971017
CN	1238780	A	19991215	CN 1997-180151		19971017
CN	1133649	B	20040107			
NZ	335276	A	20000929	NZ 1997-335276		19971017
JP	2001502694	T2	20010227	JP 1998-519568		19971017
EP	1136498	A1	20010926	EP 2001-109433		19971017
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KR	2000049263	A	20000725	KR 1999-703372		19990417
HK	1023779	A1	20020927	HK 2000-100690		20000203
US	2002032175	A1	20020314	US 2001-875390		20010606
US	6617309	B2	20030909			
US	2004266731	A1	20041230	US 2003-607716		20030627
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				EP 1997-946273	A3	19971017
				WO 1997-US18968	W	19971017
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				US 2001-875390	A3	20010606

OTHER SOURCE(S): MARPAT 128:321945
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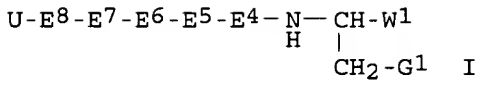

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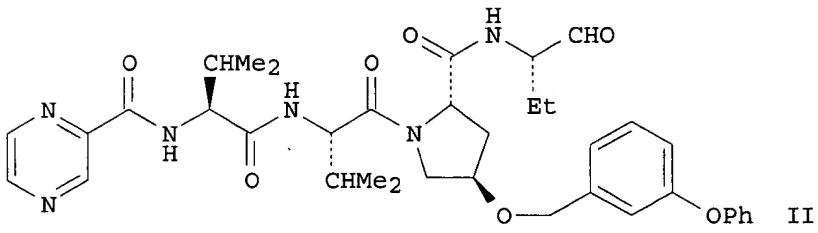

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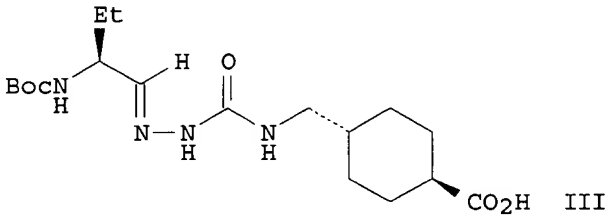


III

AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COCO2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki <1 μM in an in vitro assay.

IT 207001-81-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

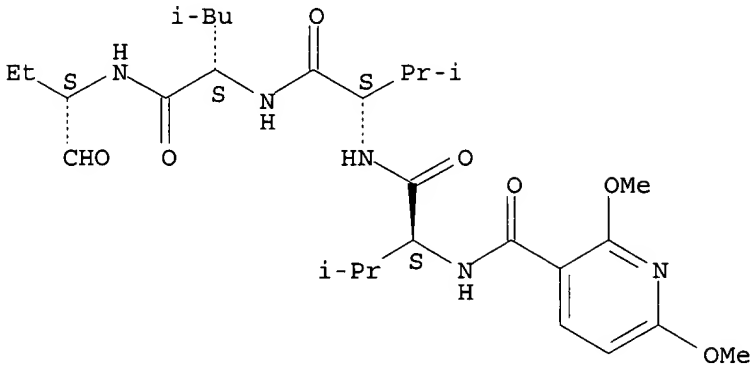
RN 207001-81-8 HCAPLUS

CN L-Leucinamide, N-[(2,6-dimethoxy-3-pyridinyl)carbonyl]-L-valyl-L-valyl-N-

09830923

[(1S)-1-formylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L3             10 S L1 FULL

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L6              7 S L3/THU OR L3/DMA
L7              6 S L3/PAC
L8              3 S L5 NOT L7

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'?THERAP?' IS NOT A VALID CROSSOVER QUALIFIER FOR L3
Answer sets created in a different file may be field qualified with a
limited set of qualifiers.  Enter HELP CROSSOVER at an arrow prompt
(=>) for specific information.
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Updated Search

09830923

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L12          1 L3 AND ?THERAP?

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L12  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1999:753058  HCAPLUS
DOCUMENT NUMBER:          132:426
TITLE:                    Diacyl carbohydrazide compounds as protease inhibitors
                          for treating diseases of excessive bone loss or
                          cartilage or matrix degradation
INVENTOR(S):              Halbert, Stacie Marie; Thompson, Scott Kevin; Veber,
                          Daniel Frank
PATENT ASSIGNEE(S):       SmithKline Beecham Corporation, USA
SOURCE:                   PCT Int. Appl., 74 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 9959570            A1    19991125    WO 1998-US17275         19980820
         W:  AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP,
             KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG,
             SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2332492            AA    19991125    CA 1998-2332492         19980820
     AU 9891102            A1    19991206    AU 1998-91102           19980820
     EP 1079821            A1    20010307    EP 1998-943273          19980820
         R:  BE, CH, DE, ES, FR, GB, IT, LI, NL
     JP 2002515428         T2    20020528    JP 2000-549235          19980820
PRIORITY APPLN. INFO.:                       US 1998-86553P      P   19980521
                                             WO 1998-US17275     W   19980820

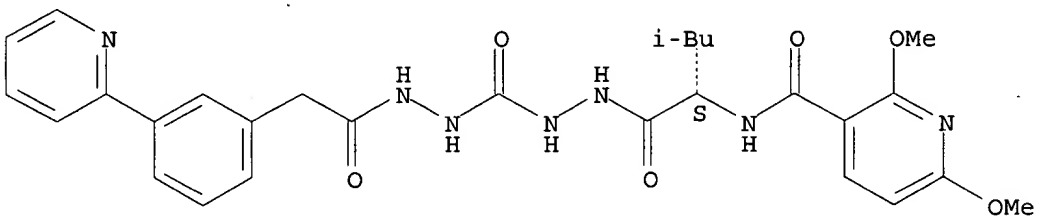
OTHER SOURCE(S):          MARPAT 132:426
AB   The present invention provides diacyl carbohydrazide compds., and
     pharmaceutically acceptable salts, hydrates and solvates thereof, which
     inhibit proteases, including cathepsin K, pharmaceutical compns. of such
     compds., novel intermediates of such compds., and methods for treating
     diseases of excessive bone loss or cartilage or matrix degradation, including
     osteoporosis; gingival disease including gingivitis and periodontitis;
     arthritis, more specifically, osteoarthritis and rheumatoid arthritis;
     Paget's disease; hypercalcemia of malignancy; and metabolic bone disease,
     comprising inhibiting said bone loss or excessive cartilage or matrix
     degradation by administering to a patient in need thereof a compound of the
     present invention.
IT   250726-27-3P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (diacyl carbohydrazide compds. as protease inhibitors for treating
        diseases of excessive bone loss or cartilage or matrix degradation)
```

Updated Search

09830923

RN 250726-27-3 HCAPLUS
CN Benzeneacetic acid, 3-(2-pyridinyl)-, 2-[[2-[(2S)-2-[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 14:37:04 ON 06 SEP 2006)

FILE 'REGISTRY' ENTERED AT 14:38:25 ON 06 SEP 2006
L1 STRUCTURE UPLOADED
L2 2 S L1
L3 10 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 14:39:39 ON 06 SEP 2006
L4 13 S L3
L5 7 S L3/THU
L6 7 S L3/THU OR L3/DMA
L7 6 S L3/PAC
L8 3 S L5 NOT L7
L9 0 S L3/PKT
L10 3 S L3/BAC
L11 0 S L10 NOT L8
L12 1 S L3 AND ?THERAP?

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13 L3
840846 ?DRUG?
L13 4 L3 AND ?DRUG?

=> s l13 not l5
L14 3 L13 NOT L5

=> s l14 not l10
L15 3 L14 NOT L10

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L15 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:193407 HCAPLUS
DOCUMENT NUMBER: 144:273163
TITLE: Aromatic amides and ureas and their uses as sweet and/or umami flavor modifiers, tastants and taste

Updated Search

09830923

enhancers

INVENTOR(S): Tachdjian, Catherine; Patron, Andrew P.; Qi, Ming; Adamski-Werner, Sara L.; Tang, Xiao-Qing; Chen, Qing; Darmohusodo, Vincent; Lebl-Rinnova, Marketa; Priest, Chad

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 168 pp., Cont.-in-part of U.S. Ser. No. 913,303.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006045953	A1	20060302	US 2005-51567	20050204
US 2005084506	A1	20050421	US 2004-913303	20040806
WO 2005041684	A2	20050512	WO 2004-US25419	20040806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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WO 2006084246	A2	20060810	WO 2006-US4132	20060206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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PRIORITY APPLN. INFO.:

US 2004-913303	A2 20040806
WO 2004-US25419	A2 20040806
US 2003-494071P	P 20030806
US 2004-552064P	P 20040309
US 2005-51567	A 20050204

OTHER SOURCE(S): MARPAT 144:273163

AB Non-natural amide compds. added to food, beverages, or pharmaceuticals at concns. preferably on the order of 100 ppm or lower may serve as savory (umami) or sweet taste modifiers, savory or sweet flavoring agents, and savory or sweet flavor enhancers. They may also act in the presence of, or in mixts. with, conventional flavoring agents such as monosodium glutamate or known natural and artificial sweeteners. Thus, 3 μM N1-(2,4-dimethoxybenzyl)-N2-(2-(pyridin-2-yl)ethyl)oxalamide enhanced the savory taste of glutamate in low-sodium tomato juice by 1.4 to 1.5-fold.

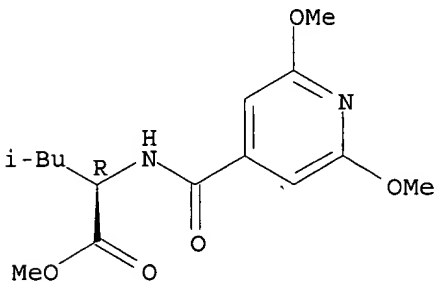
IT 851669-82-4P
RL: FFD (Food or feed use); PAC (Pharmacological activity); PRP

Updated Search

(Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aromatic amides and ureas as sweetness or umami flavor modifiers)

RN 851669-82-4 HCAPLUS
CN D-Leucine, N-[(2,6-dimethoxy-4-pyridinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L15 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:405341 HCAPLUS
DOCUMENT NUMBER: 142:462667
TITLE: Novel flavors, flavor modifiers, tastants, taste enhancers, umami or sweet tastants, and/or enhancers and use thereof
INVENTOR(S): Tachdjian, Catherine; Patron, Andrew P.; Adamski-Werner, Sara L.; Bakir, Farid; Chen, Qing; Darmohusodo, Vincent; Hobson, Stephen Terrence; Li, Xiadong; Qi, Ming; Rogers, Daniel Harry; Rinnova, Marketa; Servant, Guy; Tang, Xiao-Qing; Zoller, Mark; Wallace, Mark; Xing, Amy; Gubernator, Klaus
PATENT ASSIGNEE(S): Senomyx Inc., USA
SOURCE: PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005041684	A2	20050512	WO 2004-US25419	20040806
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CA 2535036	AA	20050512	CA 2004-2535036	20040806
EP 1659881	A2	20060531	EP 2004-816798	20040806

Updated Search

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

US 2006045953 A1 20060302 US 2005-51567 20050204
PRIORITY APPLN. INFO.: US 2003-494071P P 20030806
US 2004-552064P P 20040309
US 2004-913303 A2 20040806
WO 2004-US25419 W 20040806

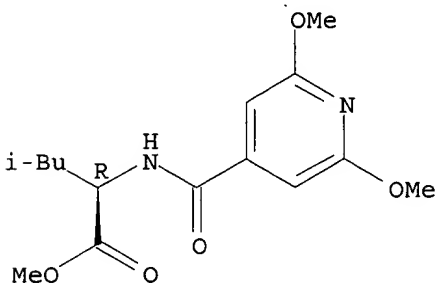
OTHER SOURCE(S): MARPAT 142:462667

AB Flavor or taste modifiers, such as a flavoring or flavoring agents and flavor or trite enhancer, more particularly, savory (the 'umami' taste of monosodium glutamate) or sweet taste modifiers, - savory or sweet flavoring agents and savory or sweet flavor enhancers, were prepared for food, beverages, and other comestible or orally administered medicinal products or compns. Thus, non-naturally occurring, non-peptide arride compds. and amide derivs., such as oxalamides, ureas, and acrylamides, were prepared

IT 851669-82-4P
RL: FFD (Food or feed use); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(flavors, flavor modifiers, tastants, taste enhancers, umami or sweet tastants, and/or enhancers and their use)

RN 851669-82-4 HCAPLUS
CN D-Leucine, N-[(2,6-dimethoxy-4-pyridinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L15 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:482064 HCAPLUS
DOCUMENT NUMBER: 67:82064
TITLE: Drugs from β-phenylisopropylamines. I. Derivatives containing a pyridine ring
AUTHOR(S): Kudryashova, N. I.; Khromov-Borisov, N. V.
CORPORATE SOURCE: Inst. Eksperim. Med. Akad. Med. Nauk., Leningrad, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1967), 3(6), 1117-21
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB A series of the title compds. of general formula PhCH2CMeHNHR (I) was synthesized. Compds. I (R = isonicotinyl) and I (R = 4-pyridyl) have sedative and hypotensive activities. The compds. were prepared by treating 2-R1-substituted, 6-R2-substituted isonicotinyl chloride (II) with PhCH2CMeHNH2. For example, to 15 g. isonicotinic acid 45 ml. SOCl2 was added slowly. The mixture was boiled to dissolve all the solids and evaporated to dryness in vacuum. The residue was dissolved in 60 ml. anhydrous benzene

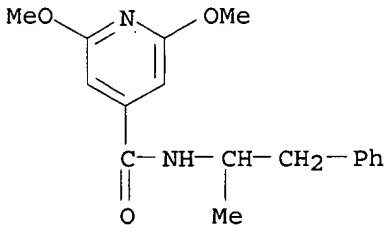
Updated Search

and 55 ml. PhCH2CMeHNH2 was added slowly. The mixture was refluxed 3 hrs., washed with water, dried with K2CO3, and evaporated in vacuo. The residue was crystallized from MeOH to give 50.6% I (R = isonicotinyl) m. 11-12.5° (HCl salt m. 92-4°). II (R1 = R2 = Cl), m. 208-9° (alc.-water), was prepared in 91% yield by action of POCl3 on II (R1 = R2 = OH). Heating II (R1 = R2 = Cl) with NaOMe gave 93.3% II (R1 = Cl, R2 = OMe) m. 212-13° (alc.-water), and II (R1 = R2 = OMe), m. 226.5-28° (MeOH) (yield not given). Treating II with PhCH2CMeHNH2 gave the following I (R, % yield, and m.p. given): 2,6-dichloroisonicotinyl, 96.3, 137.5-38° (alc.-water); 2,6-dimethoxyisonicotinyl, 62, 88-91° (AcMe); 2-chloro-6-methoxyisonicotinyl, 60.8, 102-4° (alc.-water). Reaction of cinchoninyl chloride (prepared in situ from cinchoninic acid and SOCl2) with PhCH2CMeHNH2 gave 74.1% I (R = cinchoninyl), m. 140-4° (alc.-water).(HCl salt m. 205-7°). Similarly, I (R = 9-acridinylcarbonyl), m. 200-2° (alc.-water) (yield 84.5%) (HCl salt m. 282-3°) was prepared Heating a mixture of 2.85 g. I (R = 2,6-dichloroisonicotinyl) and 15 ml. Et2NH in a sealed tube 15 hrs. at 195-200° gave 70.1% I (R = 2,6-diethylaminoisonicotinyl), m. 167-9° (AcMe). The above sealed-tube reaction with .apprx.1/2 the amount of Et2NH gave 89.6% I (R = 2-chloro-6-ethylaminoisonicotinyl), m. 136-7° (alc.-water). Refluxing 2 hrs. at 200-5° a mixture of 6.05 g. PhCH2CMeHNH2.HCl with 6.22 g. 4-phenoxypyridine, followed by dissoln. in water, steam distillation (to remove PhCH2CMeHNH2), acidification, 2nd steam distillation (to remove PhOH), neutralization, and crystallization of the organic layer gave 55.7% I (R = 4-pyridyl), m. 122-3° (alc.-water).

IT 15855-04-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15855-04-6 HCAPLUS

CN Isonicotinamide, 2,6-dimethoxy-N-(α-methylphenethyl)- (8CI) (CA INDEX NAME)



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L3 10 S L1 FULL

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L4 13 S L3
L5 7 S L3/THU

Updated Search

09830923

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L10             3 S L3/BAC
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L12             1 S L3 AND ?THERAP?
L13             4 S L3 AND ?DRUG?
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L15             3 S L14 NOT L10

=> s l10 and ?pharm?
        587427 ?PHARM?
L16             3 L10 AND ?PHARM?

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L16  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         1999:819241  HCAPLUS
DOCUMENT NUMBER:          132:64530
TITLE:                    Preparation of diacyl hydrazine compounds as protease
                          inhibitors
INVENTOR(S):              Halbert, Stacie Marie; Michaud, Evelyne; Thompson,
                          Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S):       Smithkline Beecham Corporation, USA
SOURCE:                   PCT Int. Appl., 167 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     WO 9966925            A1    19991229    WO 1999-US14561          19990624
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             NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2335876            AA    19991229    CA 1999-2335876          19990624
     AU 9947237            A1    20000110    AU 1999-47237            19990624
     EP 1093367            A1    20010425    EP 1999-930779           19990624
         R:  BE, CH, DE, ES, FR, GB, IT, LI, NL
     JP 2002518444         T2    20020625    JP 2000-555611           19990624
PRIORITY APPLN. INFO.:                       US 1998-90493P       P   19980624
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OTHER SOURCE(S):          MARPAT 132:64530
GI
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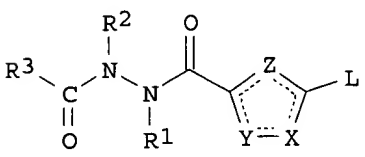

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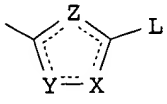


Updated Search

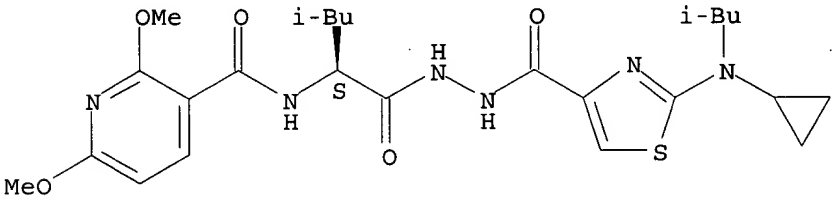
AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)amino]thiazol-4-ylcarbonyl]-N'-[N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanyl]hydrazide was prepared via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

IT 253314-50-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diacyl hydrazine compds. as protease inhibitors)

RN 253314-50-0 HCAPLUS

CN 4-Thiazolecarboxylic acid, 2-[cyclopropyl(2-methylpropyl)amino]-, 2-[(2S)-2-[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:753058 HCAPLUS

DOCUMENT NUMBER: 132:426

TITLE: Diacyl carbohydrazide compounds as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation

INVENTOR(S): Halbert, Stacie Marie; Thompson, Scott Kevin; Veber, Daniel Frank

PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

Updated Search

WO 9959570		A1	19991125	WO 1998-US17275	19980820
W:	AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332492		AA	19991125	CA 1998-2332492	19980820
AU 9891102		A1	19991206	AU 1998-91102	19980820
EP 1079821		A1	20010307	EP 1998-943273	19980820
R:	BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002515428		T2	20020528	JP 2000-549235	19980820
PRIORITY APPLN. INFO.:				US 1998-86553P P	19980521
				WO 1998-US17275 W	19980820

OTHER SOURCE(S): MARPAT 132:426

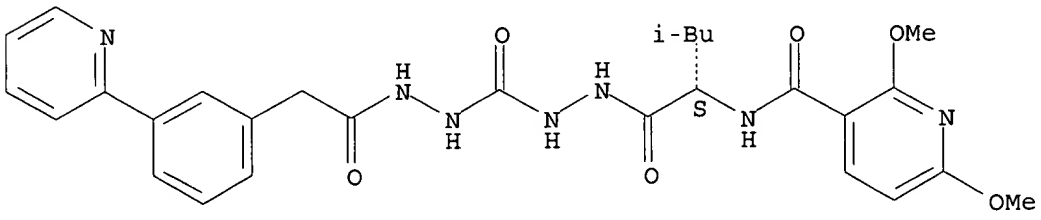
AB The present invention provides diacyl carbohydrazide compds., and pharmaceutically acceptable salts, hydrates and solvates thereof, which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., novel intermediates of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention.

IT 250726-27-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diacyl carbohydrazide compds. as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation)

RN 250726-27-3 HCAPLUS

CN Benzeneacetic acid, 3-(2-pyridinyl)-, 2-[[2-[(2S)-2-[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:268513 HCAPLUS
DOCUMENT NUMBER: 128:321945
TITLE: Preparation of peptide analogs as inhibitors of serine

Updated Search

proteases, particularly hepatitis C virus NS3 protease

INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017
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AU 9851477	A1	19980515	AU 1998-51477	19971017
AU 719984	B2	20000518		
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ES 2169880	T3	20020716	ES 1997-946273	19971017
EE 4023	B1	20030415	EE 1999-161	19971017
TW 530065	B	20030501	TW 1997-86115382	19971018
NO 9901832	A	19990617	NO 1999-1832	19990416
US 6265380	B1	20010724	US 1999-293247	19990416
KR 2000049263	A	20000725	KR 1999-703372	19990417
HK 1023779	A1	20020927	HK 2000-100690	20000203
US 2002032175	A1	20020314	US 2001-875390	20010606
US 6617309	B2	20030909		
US 2004266731	A1	20041230	US 2003-607716	20030627

PRIORITY APPLN. INFO.:

US 1996-28290P	P	19961018
EP 1997-946273	A3	19971017
WO 1997-US18968	W	19971017
US 1999-293247	A	19990416

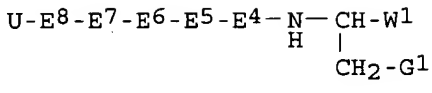
Updated Search

09830923

US 2001-875390 A3 20010606

OTHER SOURCE(S): MARPAT 128:321945

GI



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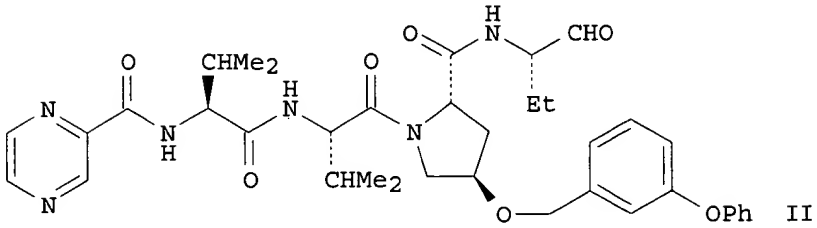

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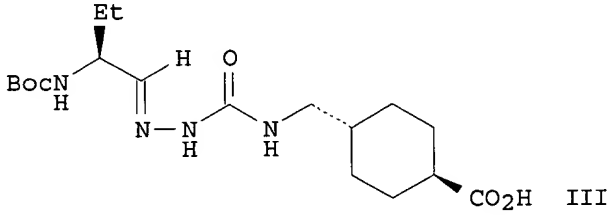


III

AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COCO2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki <1 μM in an in vitro assay.

IT 207001-81-8P

RL: BAC (Biological activity or effector, except adverse); BSU

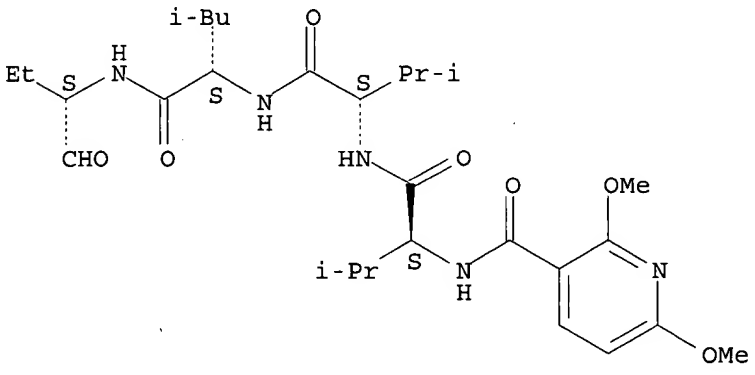
Updated Search

(Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

RN 207001-81-8 HCAPLUS

CN L-Leucinamide, N-[(2,6-dimethoxy-3-pyridinyl)carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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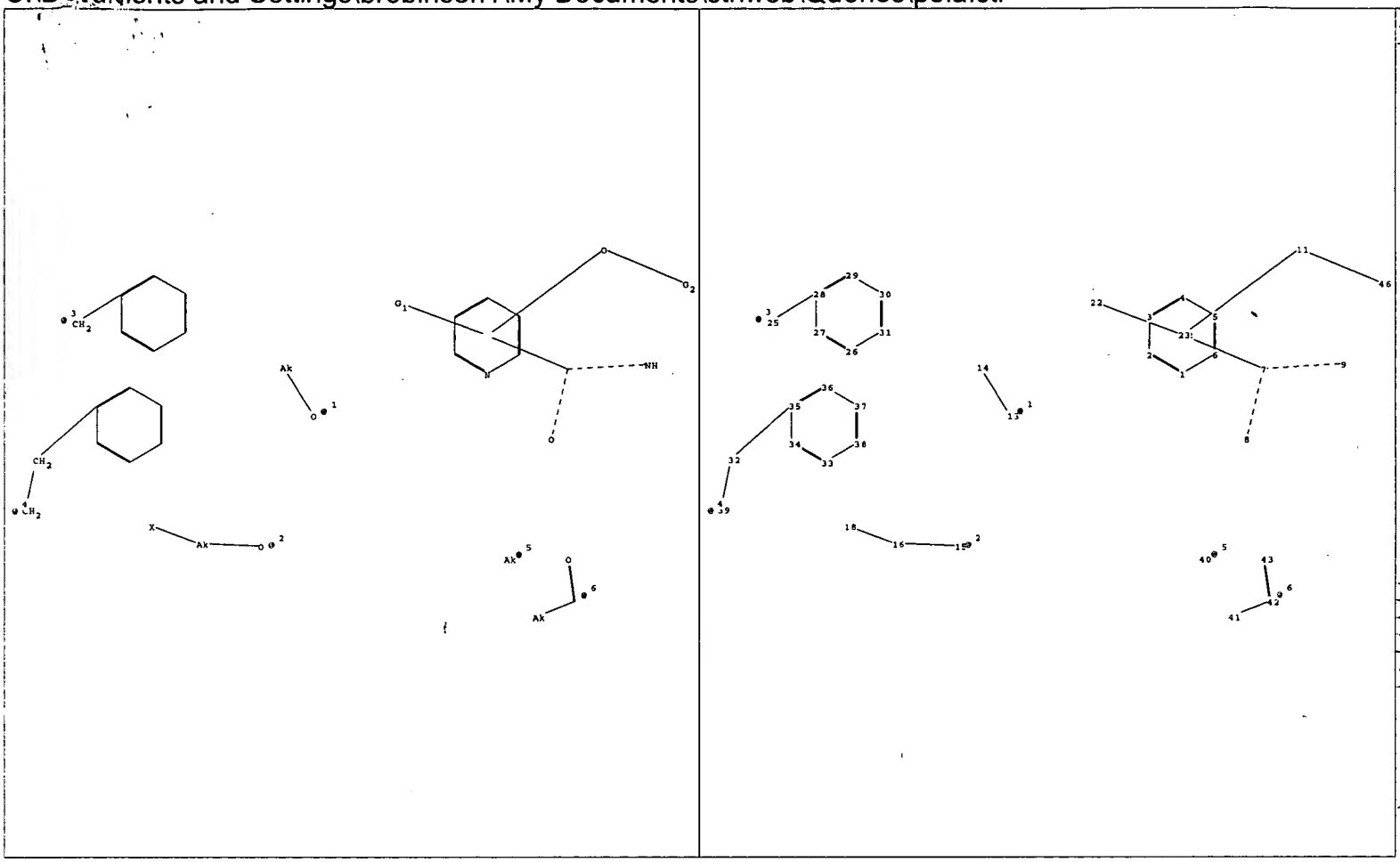

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ring nodes :
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
7-8 7-9 11-46 13-14 15-16 16-18 41-42 42-43
exact bonds :
25-28 32-35 32-39
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 : 26 : 33 :

G1:[*1],[*2]

G2:[*3],[*4],[*5],[*6]

Connectivity :

14:1 E exact RC ring/chain 40:1 E exact RC ring/chain 41:1 E exact RC ring/chain
Match level :
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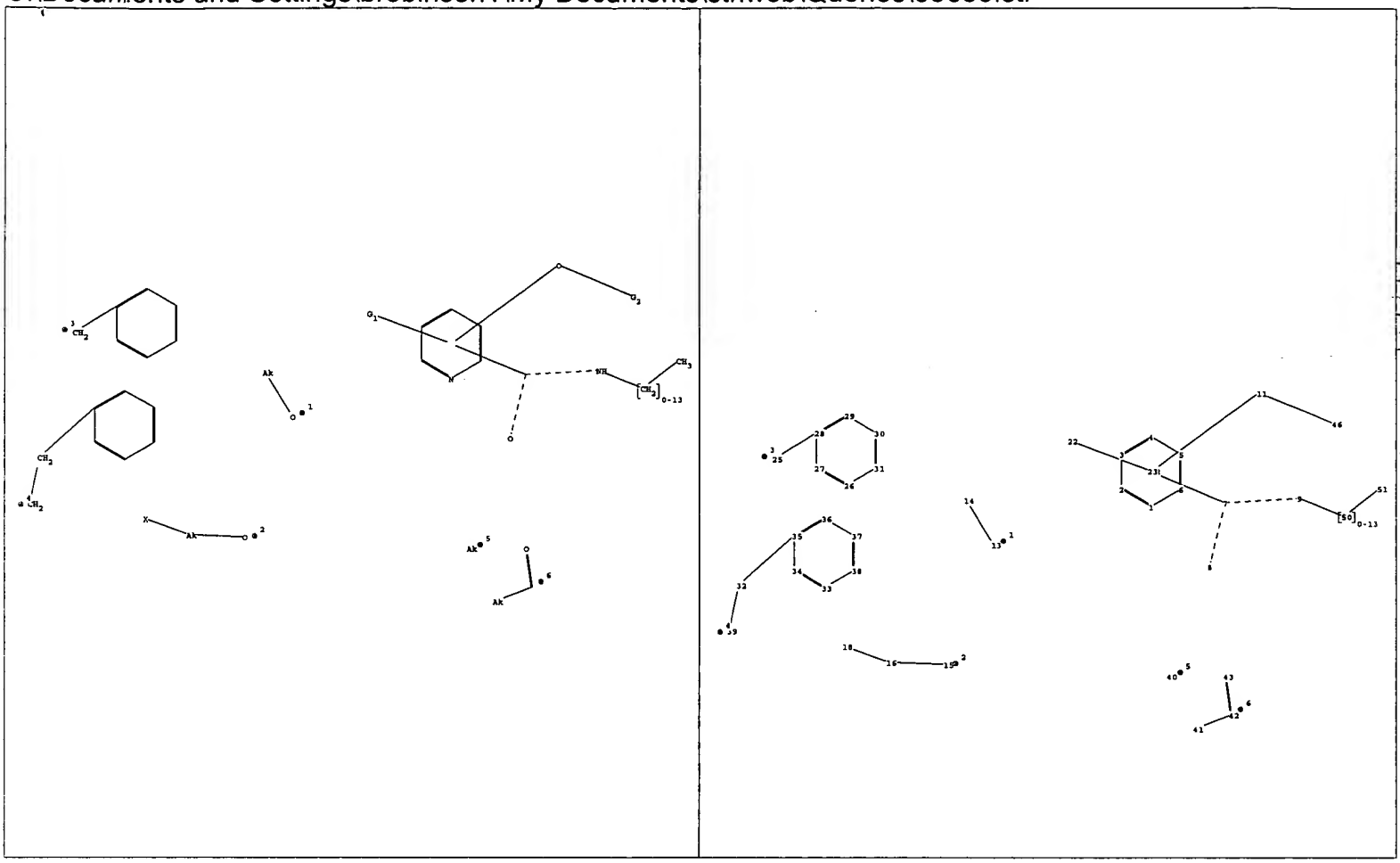


chain nodes :
7 8 9 11 13 14 15 16 18 22 25 32 39 40 41 42 43 46 50 51
ring nodes :
1 2 3 4 5 6 26 27 28 29 30 31 33 34 35 36 37 38
chain bonds :
7-8 7-9 9-50 11-46 13-14 15-16 16-18 25-28 32-35 32-39 41-42 42-43 50-51
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
7-8 7-9 11-46 13-14 15-16 16-18 41-42 42-43
exact bonds :
9-50 25-28 32-35 32-39 50-51
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 : 26 : 33 :

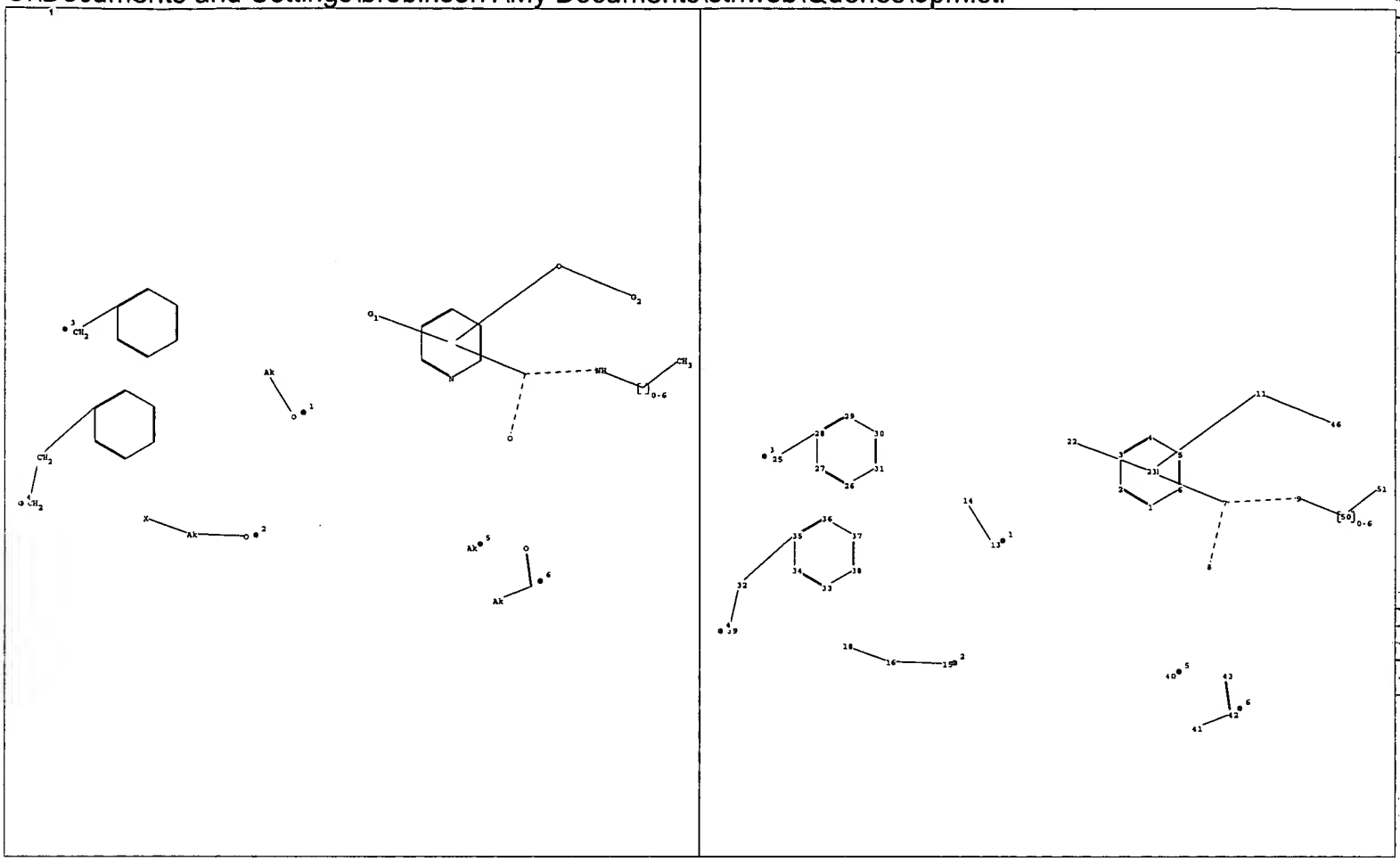
G1:[*1],[*2]

G2:[*3],[*4],[*5],[*6]

Connectivity :

14:1 E exact RC ring/chain 40:1 E exact RC ring/chain 41:1 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:CLASS 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 22:CLASS 23:Atom 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:CLASS 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS 46:CLASS 50:CLASS 51:CLASS

C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\opm.str



chain nodes :
7 8 9 11 13 14 15 16 18 22 25 32 39 40 41 42 43 46 50 51
ring nodes :
1 2 3 4 5 6 26 27 28 29 30 31 33 34 35 36 37 38
chain bonds :
7-8 7-9 9-50 11-46 13-14 15-16 16-18 25-28 32-35 32-39 41-42 42-43 50-51
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
7-8 7-9 9-50 11-46 13-14 15-16 16-18 41-42 42-43
exact bonds :
25-28 32-35 32-39 50-51
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 : 26 : 33 :

G1:[*1],[*2]

G2:[*3],[*4],[*5],[*6]

Connectivity :

14:1 E exact RC ring/chain 40:1 E exact RC ring/chain 41:1 E exact RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:CLASS 12:Atom
13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 22:CLASS 23:Atom 25:CLASS 26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:Atom 32:CLASS 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:CLASS
40:CLASS 41:CLASS 42:CLASS 43:CLASS 46:CLASS 50:CLASS 51:CLASS

09830923

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1612bxr

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS	5	MAY 11	KOREAPAT updates resume
NEWS	6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS	8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS	9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS	13	JUl 14	FSTA enhanced with Japanese patents
NEWS	14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:42:01 ON 06 SEP 2006

Updated Search

09830923

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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:42:08 ON 06 SEP 2006
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STRUCTURE FILE UPDATES:    5 SEP 2006  HIGHEST RN 905905-44-4
DICTIONARY FILE UPDATES:   5 SEP 2006  HIGHEST RN 905905-44-4

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\ety.str

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 11:45:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    50327 TO ITERATE

  4.0% PROCESSED     2000 ITERATIONS                          2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       993157 TO  1019923
PROJECTED ANSWERS:             581 TO     1431

L2            2 SEA SSS SAM L1
```

Updated Search

09830923

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\poi.str

L3   STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3   STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l3
SAMPLE SEARCH INITIATED 11:49:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   6920 TO ITERATE

 28.9% PROCESSED   2000 ITERATIONS   0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 133413 TO   143387
PROJECTED ANSWERS: 0 TO   0

L4   0 SEA SSS SAM L3

=> s l3 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 11:49:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   138330 TO ITERATE

100.0% PROCESSED   138330 ITERATIONS   0 ANSWERS
SEARCH TIME: 00.00.02

L5   0 SEA SSS FUL L3

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\poia.str

L6   STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6   STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l6
SAMPLE SEARCH INITIATED 11:53:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   26910 TO ITERATE

  7.4% PROCESSED   2000 ITERATIONS   2 ANSWERS

Updated Search

09830923

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 528388 TO 548012
PROJECTED ANSWERS: 227 TO 849

L7 2 SEA SSS SAM L6

=> s l6 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 11:53:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 536371 TO ITERATE

100.0% PROCESSED 536371 ITERATIONS 383 ANSWERS
SEARCH TIME: 00.00.07

L8 383 SEA SSS FUL L6

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 341.80 342.01

FILE 'HCAPLUS' ENTERED AT 11:54:10 ON 06 SEP 2006
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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l8
L9 139 L8

=> file reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 7.59 349.60

FILE 'REGISTRY' ENTERED AT 11:55:51 ON 06 SEP 2006

Updated Search

09830923

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STRUCTURE FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4
DICTIONARY FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\65656.str

L10 STRUCTURE UPLOADED

=> d l10
L10 HAS NO ANSWERS
L10 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l10
SAMPLE SEARCH INITIATED 11:58:09 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 20884 TO ITERATE

9.6% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 409030 TO 426330
PROJECTED ANSWERS: 0 TO 0

L11 0 SEA SSS SAM L10

=> s l10 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 11:58:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 416172 TO ITERATE

Updated Search

09830923

100.0% PROCESSED 416172 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.04

L12 0 SEA SSS FUL L10

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\opm.str

L13 STRUCTURE UPLOADED

=> s l13
SAMPLE SEARCH INITIATED 12:01:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 21638 TO ITERATE

9.2% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 423956 TO 441564
PROJECTED ANSWERS: 153 TO 711

L14 2 SEA SSS SAM L13

=> s l13 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 12:01:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 430544 TO ITERATE

100.0% PROCESSED 430544 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.05

L15 10 SEA SSS FUL L13

=> s l14 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 12:02:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 430544 TO ITERATE

100.0% PROCESSED 430544 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.04

L16 10 SEA SSS FUL L13

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 504.34 853.94

FILE 'HCAPLUS' ENTERED AT 12:02:18 ON 06 SEP 2006
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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l15
L17          13 L15

=> s l17 and imamura, k?/au
           1427 IMAMURA, K?/AU
L18           0 L17 AND IMAMURA, K?/AU

=> s l17 and mitomo, k?/au
             43 MITOMO, K?/AU
L19           0 L17 AND MITOMO, K?/AU

=> s l17 and yamada, n?/au
           3789 YAMADA, N?/AU
L20           0 L17 AND YAMADA, N?/AU

=> s l17 and teraoka, t?/au
            382 TERAOKA, T?/AU
L21           0 L17 AND TERAOKA, T?/AU

=> s l17 and sakanaka, o?/au
             25 SAKANAKA, O?/AU
L22           0 L17 AND SAKANAKA, O?/AU

=> s l17 and kurihara, h?/au
           1421 KURIHARA, H?/AU
L23           0 L17 AND KURIHARA, H?/AU

=> s l17 and taniguchi, m?/au
           3956 TANIGUCHI, M?/AU
L24           0 L17 AND TANIGUCHI, M?/AU

=> d l17, ibib abs hitstr, 1-13

L17  ANSWER 1 OF 13  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2006:464621  HCAPLUS
DOCUMENT NUMBER:          144:488655
TITLE:                    Preparation of 8H-imidazo[4,5-d]thiazolo[4,5-
                          b]pyridine derivatives as IKK inhibitors for treatment
                          of inflammatory and immune diseases
INVENTOR(S):              Dyckman, Alaric; Pitts, William J.; Belema, Makonen;
                          Gill, Patrice; Kempson, James; Qiu, Yuping; Quesnelle,
                          Claude; Spergel, Steven H.; Zusi, F. Christopher
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Updated Search

09830923

PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 67 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006106051	A1	20060518	US 2005-272401	20051110
WO 2006053120	A1	20060518	WO 2005-US40726	20051110

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-627761P P 20041112
OTHER SOURCE(S): MARPAT 144:488655
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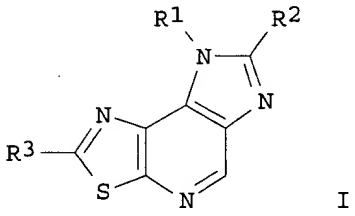

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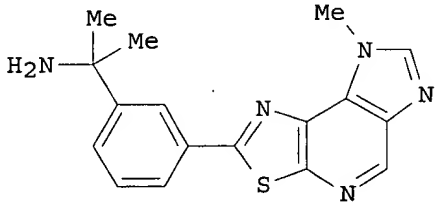


II

AB The title 8H-imidazo[4,5-d]thiazolo[4,5-b]pyridine derivs. I [wherein R1 = H, alkyl, alkenyl, or alkynyl; R2 = H, halo, CN, (un)substituted alkyl, alkenyl, alkoxy, aryloxy, etc.; R3 = 3-substituted phenyl], or their enantiomers, diastereomers, and salts thereof were prepared as IKK inhibitors for the treatment of inflammatory and immune diseases. For example, II was prepared in a multi-step synthesis. The compds. showed inhibitory activity against IKK, IκB, NF-κB, and/or TNF-α (no data).

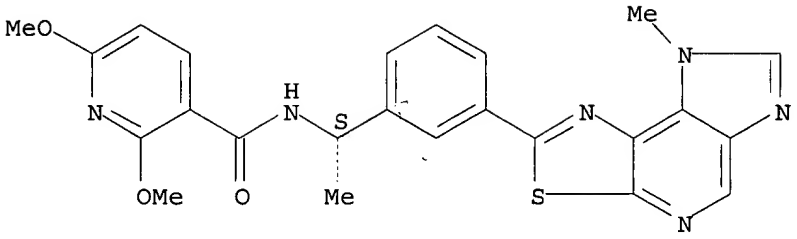
IT 887253-17-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazothiazolopyridine derivs. as IKK inhibitors for treatment of inflammatory and immune diseases)

RN 887253-17-0 HCAPLUS
CN 3-Pyridinecarboxamide, 2,6-dimethoxy-N-[(1S)-1-[3-(8-methyl-8H-imidazo[4,5-d]thiazolo[5,4-b]pyridin-2-yl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

09830923



L17 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:193407 HCAPLUS
DOCUMENT NUMBER: 144:273163
TITLE: Aromatic amides and ureas and their uses as sweet and/or umami flavor modifiers, tastants and taste enhancers
INVENTOR(S): Tachdjian, Catherine; Patron, Andrew P.; Qi, Ming; Adamski-Werner, Sara L.; Tang, Xiao-Qing; Chen, Qing; Darmohusodo, Vincent; Lebl-Rinnova, Marketa; Priest, Chad
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 168 pp., Cont.-in-part of U.S. Ser. No. 913,303.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006045953	A1	20060302	US 2005-51567	20050204
US 2005084506	A1	20050421	US 2004-913303	20040806
WO 2005041684	A2	20050512	WO 2004-US25419	20040806

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2006084246	A2	20060810	WO 2006-US4132	20060206

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

Updated Search

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2004-913303	A2	20040806
WO 2004-US25419	A2	20040806
US 2003-494071P	P	20030806
US 2004-552064P	P	20040309
US 2005-51567	A	20050204

OTHER SOURCE(S): MARPAT 144:273163

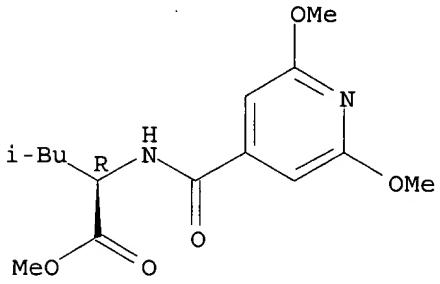
AB Non-natural amide compds. added to food, beverages, or pharmaceuticals at concns. preferably on the order of 100 ppm or lower may serve as savory (umami) or sweet taste modifiers, savory or sweet flavoring agents, and savory or sweet flavor enhancers. They may also act in the presence of, or in mixts. with, conventional flavoring agents such as monosodium glutamate or known natural and artificial sweeteners. Thus, 3 μM N1-(2,4-dimethoxybenzyl)-N2-(2-(pyridin-2-yl)ethyl)oxalamide enhanced the savory taste of glutamate in low-sodium tomato juice by 1.4 to 1.5-fold.

IT 851669-82-4P
RL: FFD (Food or feed use); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aromatic amides and ureas as sweetness or umami flavor modifiers)

RN 851669-82-4 HCAPLUS

CN D-Leucine, N-[(2,6-dimethoxy-4-pyridinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:696876 HCAPLUS

DOCUMENT NUMBER: 143:193910

TITLE: Preparation of herbicidal amides

INVENTOR(S): Hanagan, Mary Ann; Selby, Thomas Paul; Sharpe, Paula Louise; Sheth, Ritesh B.; Stevenson, Thomas Martin

PATENT ASSIGNEE(S): E.I. Dupont de Nemours and Company, USA

SOURCE: PCT Int. Appl., 248 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070889	A1	20050804	WO 2005-US2147	20050121

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

Updated Search

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-539073P P 20040123
US 2004-607277P P 20040903

OTHER SOURCE(S): MARPAT 143:193910

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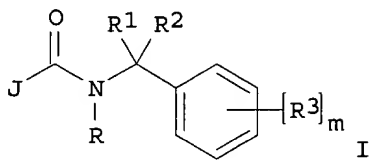

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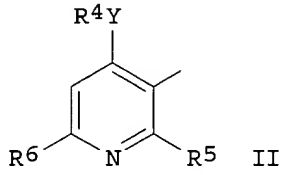

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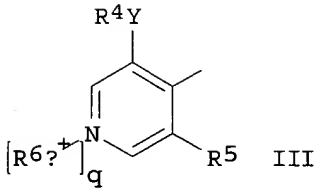

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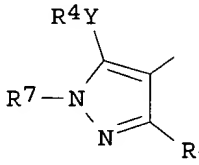

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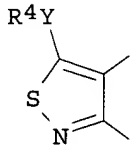

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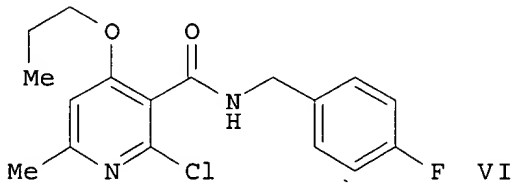


VI

AB The title compds. I [J = II, III, IV, V; Y = O, SOn, NR8; R = H, alkoxymethyl, alkylcarbonyl, alkoxycarbonyl; R1 = H, alkyl; R2 = H, alkyl, haloalkyl, etc.; R3 = halo, CN, NO2, etc.; two adjacent R3 are taken together as OCH2O, O(CHMe)O, O(CMe2)O, etc.; R4 = alkyl, cycloalkyl, alkylcycloalkyl, etc.; R5 = H, halo, alkyl, etc.; R6 = H, halo, CN, etc.; R6a = alkyl, haloalkyl, alkenyl, etc.; R7 = H, alkyl, haloalkyl, etc.; R8 = H, alkyl, alkylcarbonyl, etc.; n = 0-1; m = 0-5; q = 0-1] which are useful for controlling undesired vegetation (biol. data given), were prepared E.g., a 2-step synthesis of VI, starting from 2,4-dichloro-6-methyl-3-pyridinecarboxylic acid and 1-propanol, was given. Also disclosed are compns. comprising the compds. I and a method for controlling undesired vegetation which involves contacting the vegetation or its environment with an effective amount of a compound I. Also disclosed are compns. comprising a compound I and at least one addnl. active

Updated Search

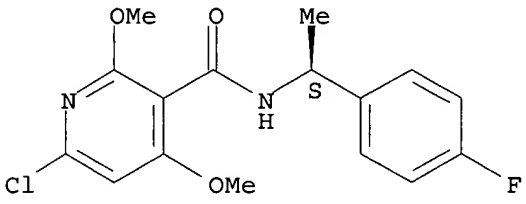
ingredient selected from the group consisting of an other herbicide and a herbicide safener.

IT 861894-48-6P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of herbicidal amides)

RN 861894-48-6 HCAPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[(1S)-1-(4-fluorophenyl)ethyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:405341 HCAPLUS

DOCUMENT NUMBER: 142:462667

TITLE: Novel flavors, flavor modifiers, tastants, taste enhancers, umami or sweet tastants, and/or enhancers and use thereof

INVENTOR(S): Tachdjian, Catherine; Patron, Andrew P.; Adamski-Werner, Sara L.; Bakir, Farid; Chen, Qing; Darmohusodo, Vincent; Hobson, Stephen Terrence; Li, Xiadong; Qi, Ming; Rogers, Daniel Harry; Rinnova, Marketa; Servant, Guy; Tang, Xiao-Qing; Zoller, Mark; Wallace, Mark; Xing, Amy; Gubernator, Klaus

PATENT ASSIGNEE(S): Senomyx Inc., USA

SOURCE: PCT Int. Appl., 262 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005041684	A2	20050512	WO 2004-US25419	20040806

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

09830923

AU 2004285410	A1	20050512	AU 2004-285410	20040806
CA 2535036	AA	20050512	CA 2004-2535036	20040806
EP 1659881	A2	20060531	EP 2004-816798	20040806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 2006045953	A1	20060302	US 2005-51567	20050204
PRIORITY APPLN. INFO.:			US 2003-494071P	P 20030806
			US 2004-552064P	P 20040309
			US 2004-913303	A2 20040806
			WO 2004-US25419	W 20040806

OTHER SOURCE(S): MARPAT 142:462667

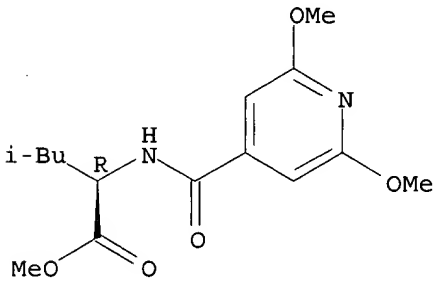
AB Flavor or taste modifiers, such as a flavoring or flavoring agents and flavor or trite enhancer, more particularly, savory (the 'umami' taste of monosodium glutamate) or sweet taste modifiers, - savory or sweet flavoring agents and savory or sweet flavor enhancers, were prepared for food, beverages, and other comestible or orally administered medicinal products or compns. Thus, non-naturally occurring, non-peptide arride compds. and amide derivs., such as oxalamides, ureas, and acrylamides, were prepared

IT 851669-82-4P
RL: FFD (Food or feed use); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(flavors, flavor modifiers, tastants, taste enhancers, umami or sweet tastants, and/or enhancers and their use)

RN 851669-82-4 HCAPLUS

CN D-Leucine, N-[(2,6-dimethoxy-4-pyridinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:912843 HCAPLUS

DOCUMENT NUMBER: 139:381756

TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; Mccormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua

Updated Search

09830923

PATENT ASSIGNEE(S): Schering Corporation, USA; Dendreon Corporation
SOURCE: U.S. Pat. Appl. Publ., 629 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003216325	A1	20031120	US 2001-908955	20010719
US 2004254117	A9	20041216		
US 7012066	B2	20060314		
CN 1498224	A	20040519	CN 2001-813111	20010719
ZA 2002010312	A	20040329	ZA 2002-10312	20021219
PRIORITY APPLN. INFO.:			US 2000-220108P P	20000721
OTHER SOURCE(S):	MARPAT 139:381756			

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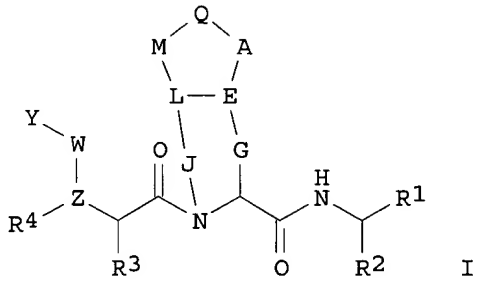

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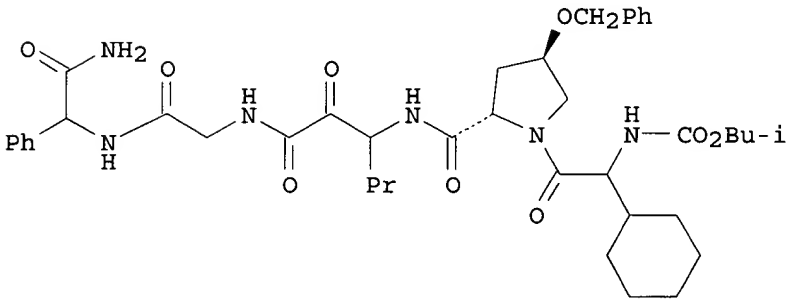


II

AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N,

Updated Search

alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared by the solid-phase method and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

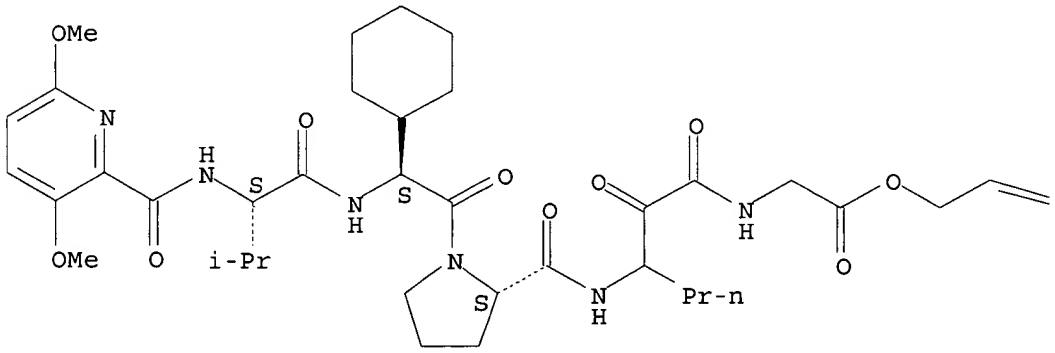
IT 394720-42-4P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394720-42-4 HCAPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

$=CH_2$

REFERENCE COUNT: 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:591204 HCAPLUS
DOCUMENT NUMBER: 139:149928
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

Updated Search

09830923

INVENTOR(S): Saksena, Anil K.; Girijavallabhn, Viyyoor M.; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, George F.; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Wong, Jesse K.; Nair, Latha G.

PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.; Dendreon Corp.

SOURCE: PCT Int. Appl., 633 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

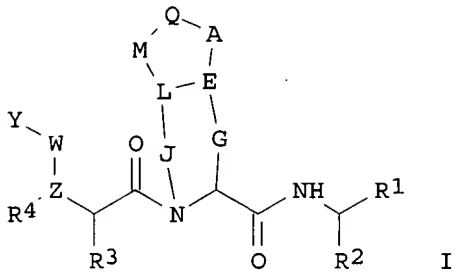
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062265	A2	20030731	WO 2003-US1430	20030116
WO 2003062265	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473032	AA	20030731	CA 2003-2473032	20030116
EP 1481000	A2	20041201	EP 2003-731956	20030116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003006931	A	20050419	BR 2003-6931	20030116
CN 1633446	A	20050629	CN 2003-805933	20030116
JP 2005524628	T2	20050818	JP 2003-562142	20030116
NO 2004002792	A	20041015	NO 2004-2792	20040702

PRIORITY APPLN. INFO.: US 2002-52386 A 20020118
WO 2003-US1430 W 20030116

OTHER SOURCE(S): MARPAT 139:149928

GI

Updated Search



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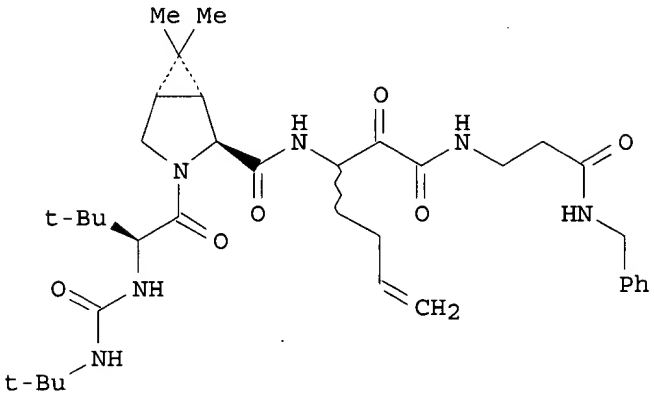


II

AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is selected from O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

IT 394720-42-4P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

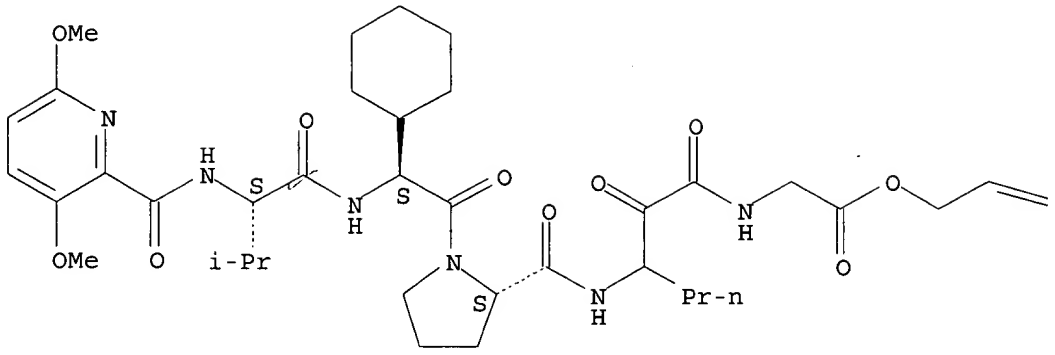
RN 394720-42-4 HCAPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

PAGE 1-A



PAGE 1-B

L17 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:90062 HCAPLUS
DOCUMENT NUMBER: 136:167698
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.
PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.
SOURCE: PCT Int. Appl., 536 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008244	A2	20020131	WO 2001-US22678	20010719
WO 2002008244	A3	20030619		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

Updated Search

CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2410662	AA	20020131	CA 2001-2410662	20010719
AU 2001076988	A5	20020205	AU 2001-76988	20010719
BR 2001012540	A	20030624	BR 2001-12540	20010719
EP 1385870	A2	20040204	EP 2001-954764	20010719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004504404	T2	20040212	JP 2002-514149	20010719
CN 1498224	A	20040519	CN 2001-813111	20010719
NZ 523782	A	20051028	NZ 2001-523782	20010719
ZA 2002010312	A	20040329	ZA 2002-10312	20021219
NO 2003000272	A	20030321	NO 2003-272	20030120
PRIORITY APPLN. INFO.:			US 2000-220108P P	20000721
			WO 2001-US22678 W	20010719

OTHER SOURCE(S): MARPAT 136:167698

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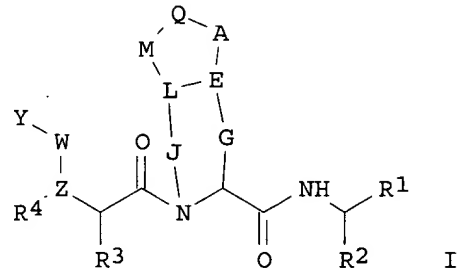

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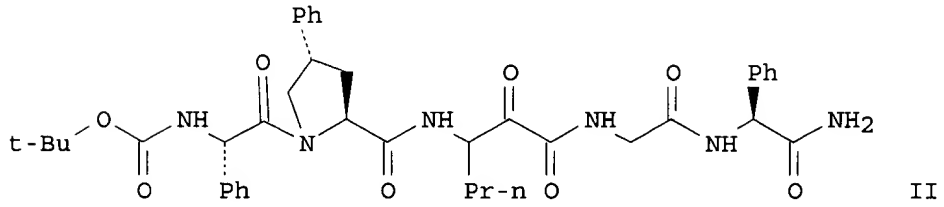


II

AB Peptides I were prepared wherein Y is alkyl, alkyl-aryl, heteroaryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy,, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino and heterocycloalkylamino; R1 is acyl, borate; Z is selected from O, N, CH or CR; W, Q, G, J, L, M independently maybe present or absent; W is C=O, C=S, C(=N-CN), or SO; Q is CH, N, P, alkylidene, O, amine,S, or SO; A is O, CH, alkylidene, amine, S, SO or bond; E is CH, N, alkylidene, or double bond; G is alkylidene; J is alkylidene, SO, NH, NR, O; L is CH, alkylidene, O, S or NR; M is O, NR,S, SO, alkylidene; p is 0 to 6; and R-R4 are independently selected from the

group consisting of H; alkyl; alkenyl; cycloalkyl; heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen; (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus peptide II was prepared and tested as antiviral agent and NS3-serine protease inhibitors of hepatitis C virus with Ki ranges in category A = 1-100 nM; category B = 101-1,000 nM; category C > 1000 nM. Also disclosed is the use of I for the manufacture of a medicament for treating HCV, AIDS, and related disorders.

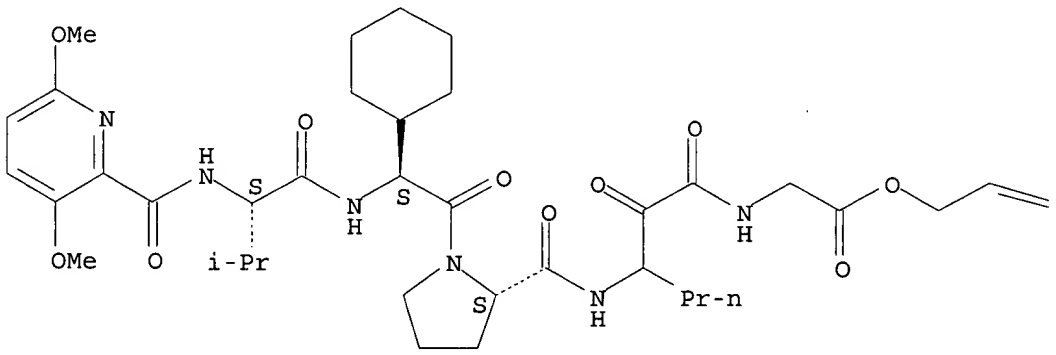
IT 394720-42-4P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394720-42-4 HCAPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

$=CH_2$

L17 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:900620 HCAPLUS
DOCUMENT NUMBER: 134:56577
TITLE: Pyridinecarboxamides and their use as plant protection

Updated Search

09830923

agents

INVENTOR(S): Backhaus, Dirk; Jordan, Stephan; Boie, Christiane; Schneider, Udo; Gayer, Herbert; Vaupel, Martin; Mauler-Machnik, Astrid; Wachendorff-Neumann, Ulrike; Kuck, Karl-Heinz

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076979	A1	20001221	WO 2000-EP4870	20000529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19958166	A1	20001214	DE 1999-19958166	19991202
PRIORITY APPLN. INFO.:			DE 1999-19926174 A	19990609
			DE 1999-19958166 A	19991202

OTHER SOURCE(S): MARPAT 134:56577

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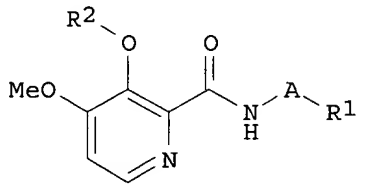

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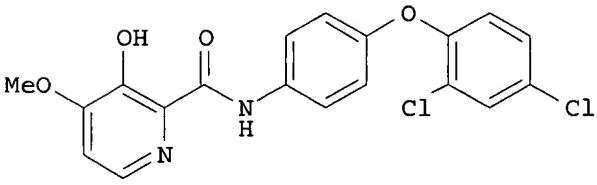


II

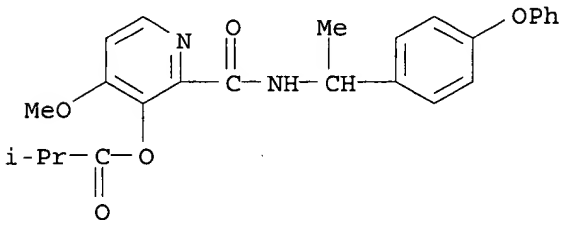
AB Pyridinecarboxamides I [A = bond, (un)substituted alkylene, heteroalkylene; R1 = (un)substituted cycloalkyl, cycloalkenyl, aryl, heterocyclyl; R2 = H, acyl, alkoxycarbonyl] were prepared for use as agricultural fungicides. Thus, the amide II was obtained by amidation. II was ≥91% effective against Botrytis on beans at 500 g/ha.

IT 313643-68-4P 313643-71-9P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinecarboxamides as agricultural fungicides)

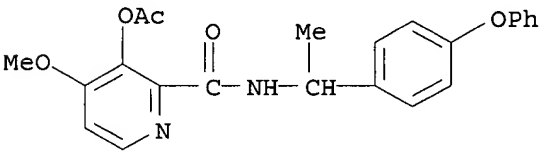
Updated Search

09830923

RN 313643-68-4 HCAPLUS
CN Propanoic acid, 2-methyl-, 4-methoxy-2-[[[1-(4-phenoxyphenyl)ethyl]amino]carbonyl]-3-pyridinyl ester (9CI) (CA INDEX NAME)



RN 313643-71-9 HCAPLUS
CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-[1-(4-phenoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:819241 HCAPLUS
DOCUMENT NUMBER: 132:64530
TITLE: Preparation of diacyl hydrazine compounds as protease inhibitors
INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

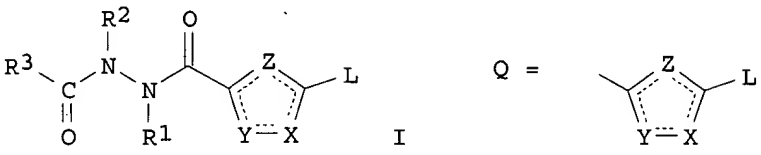
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966925	A1	19991229	WO 1999-US14561	19990624
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335876	AA	19991229	CA 1999-2335876	19990624
AU 9947237	A1	20000110	AU 1999-47237	19990624

Updated Search

09830923

EP 1093367	A1	20010425	EP 1999-930779		19990624
R: BE, CH, DE, ES, FR, GB, IT, LI, NL					
JP 2002518444	T2	20020625	JP 2000-555611		19990624
PRIORITY APPLN. INFO.:			US 1998-90493P	P	19980624
			WO 1999-US14561	W	19990624

OTHER SOURCE(S): MARPAT 132:64530
GI



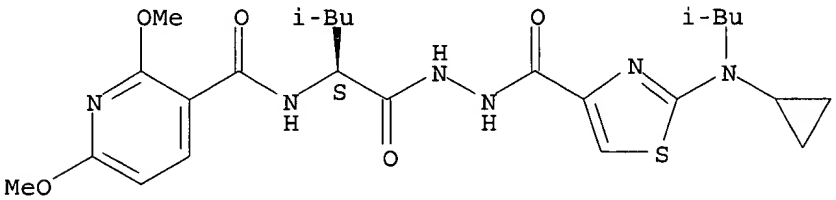
AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)amino]thiazol-4-ylcarbonyl]-N'-[N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanyl]hydrazide was prepared via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

IT 253314-50-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diacyl hydrazine compds. as protease inhibitors)

RN 253314-50-0 HCAPLUS

CN 4-Thiazolecarboxylic acid, 2-[cyclopropyl(2-methylpropyl)amino]-, 2-[(2S)-2-[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:753058 HCAPLUS

Updated Search

09830923

DOCUMENT NUMBER: 132:426
TITLE: Diacyl carbohydrazide compounds as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation
INVENTOR(S): Halbert, Stacie Marie; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959570	A1	19991125	WO 1998-US17275	19980820
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332492	AA	19991125	CA 1998-2332492	19980820
AU 9891102	A1	19991206	AU 1998-91102	19980820
EP 1079821	A1	20010307	EP 1998-943273	19980820
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002515428	T2	20020528	JP 2000-549235	19980820
PRIORITY APPLN. INFO.:			US 1998-86553P P	19980521
			WO 1998-US17275 W	19980820

OTHER SOURCE(S): MARPAT 132:426

AB The present invention provides diacyl carbohydrazide compds., and pharmaceutically acceptable salts, hydrates and solvates thereof, which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., novel intermediates of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention.

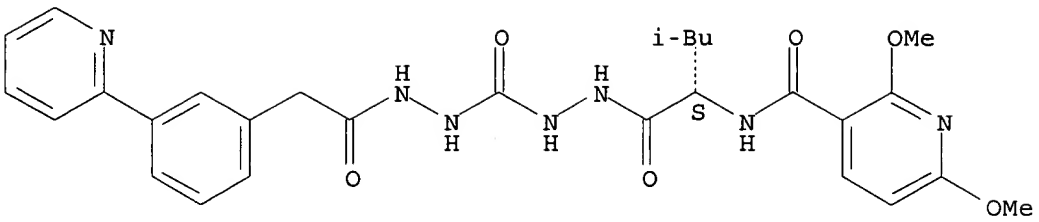
IT 250726-27-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diacyl carbohydrazide compds. as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation)

RN 250726-27-3 HCAPLUS
CN Benzeneacetic acid, 3-(2-pyridinyl)-, 2-[[2-[(2S)-2-[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

09830923



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:268513 HCAPLUS
DOCUMENT NUMBER: 128:321945
TITLE: Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268391	AA	19980430	CA 1997-2268391	19971017
ZA 9709327	A	19980511	ZA 1997-9327	19971017
AU 9851477	A1	19980515	AU 1998-51477	19971017
AU 719984	B2	20000518		
EP 932617	A1	19990804	EP 1997-946273	19971017
EP 932617	B1	20020116		
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IN 183120	A	19990911	IN 1997-CA1951	19971017
BR 9712544	A	19991019	BR 1997-12544	19971017
CN 1238780	A	19991215	CN 1997-180151	19971017
CN 1133649	B	20040107		
NZ 335276	A	20000929	NZ 1997-335276	19971017
JP 2001502694	T2	20010227	JP 1998-519568	19971017
EP 1136498	A1	20010926	EP 2001-109433	19971017
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

Updated Search

09830923

AP 1019	A	20011016	AP 1999-1512		19971017
W: GH, KE, LS, MW, SD, SZ, UG, ZW					
AT 212037	E	20020215	AT 1997-946273		19971017
ES 2169880	T3	20020716	ES 1997-946273		19971017
EE 4023	B1	20030415	EE 1999-161		19971017
TW 530065	B	20030501	TW 1997-86115382		19971018
NO 9901832	A	19990617	NO 1999-1832		19990416
US 6265380	B1	20010724	US 1999-293247		19990416
KR 2000049263	A	20000725	KR 1999-703372		19990417
HK 1023779	A1	20020927	HK 2000-100690		20000203
US 2002032175	A1	20020314	US 2001-875390		20010606
US 6617309	B2	20030909			
US 2004266731	A1	20041230	US 2003-607716		20030627
PRIORITY APPLN. INFO.:			US 1996-28290P	P	19961018
			EP 1997-946273	A3	19971017
			WO 1997-US18968	W	19971017
			US 1999-293247	A	19990416
			US 2001-875390	A3	20010606

OTHER SOURCE(S): MARPAT 128:321945

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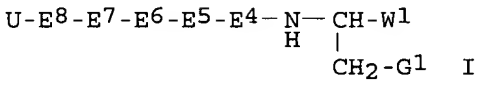

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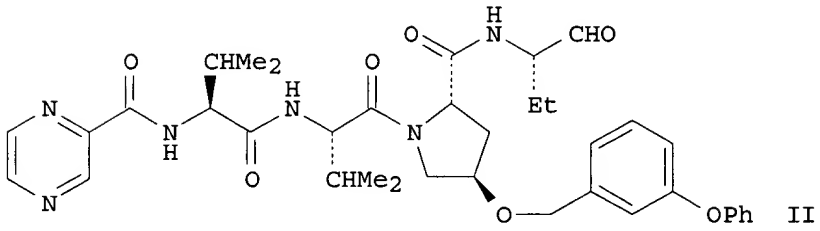
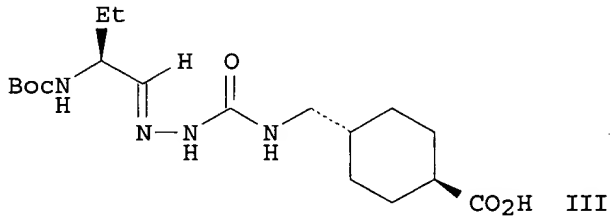


II



III

AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COCO2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy,

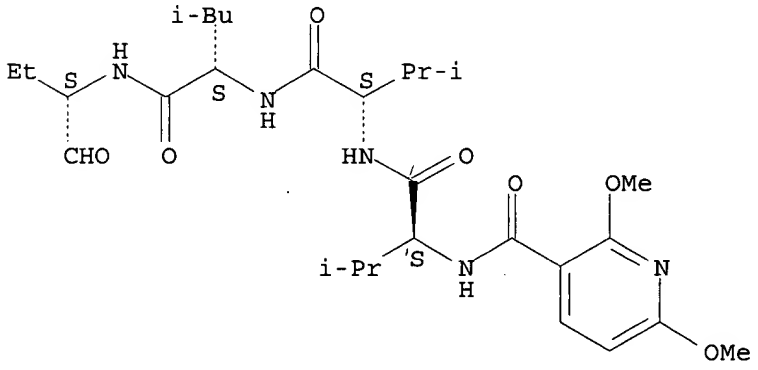
Updated Search

aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki <1 μM in an in vitro assay.

IT 207001-81-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

RN 207001-81-8 HCAPLUS
CN L-Leucinamide, N-[(2,6-dimethoxy-3-pyridinyl)carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1969:114460 HCAPLUS
DOCUMENT NUMBER: 70:114460
TITLE: Polarographic study of some nitrogen-containing heterocycles
AUTHOR(S): Mikhailova, T. A.; Kudryashova, N. I.; Khromov-Borisov, N. V.
CORPORATE SOURCE: Inst. Eksp. Med., Leningrad, USSR
SOURCE: Zhurnal Obshchei Khimii (1969), 39(1), 26-30
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Polarographic halfwave potentials were reported in the pH range of

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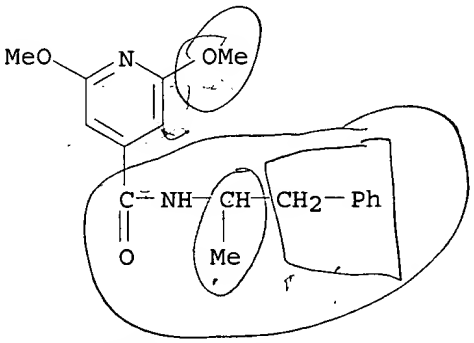
1.85-11.6 for pyridine, quinoline, acridine, their 4-carboxylic acids, and the amides of these acids with N-CHMeCH2Ph grouping. Also included were data on N-(1-methyl-2-phenylethyl)amides of isonicotinic acid with the following 2,6-ring substituents: H, H; Cl, Cl; MeO, MeO; Et2N, Et2N; Cl, MeO; Cl, Et2N. N,N-diethylisonicotinamide with the following 2,6-substituents were also reported: H, H; Cl, Cl; Cl, MeO; MeO, MeO; Cl, Et2N; Et2N, Et2N. The main center of reaction in these compds. is the C:N link which gives the 1st polarographic wave at any pH value. Introduction of 4-substituents with electron-acceptor properties serves to lower the halfwave potential; introduction of electron donor groups in 2,6-positions raises the halfwave potential. The CO2H and CONHR groups cause a 2nd polarographic wave in neutral medium only. Treating the acyl chloride with Et2NH in C6H6 gave the diethylamides of: isonicotinic acid, b3 133°, n20D 1.5238; 2,6-dichloroiso-nicotinic acid (I), m. 82-4°; 2-chloro-6-methoxy analog, b3 153°; and the 2,6-dimethoxy analog, m. 87-8°. Heating the diethylamide of I with Et2NH at 100° 1 day gave the diethylamide of 2-chloro-6-diethylaminoisonicotinic acid, b4 182-4°; similarly, by heating 26 hrs. at 200°, the 2,6-bis(diethylamino)analog, m. 54-6°, b3 185-7°, was prepared

IT 15855-04-6
RL: PRP (Properties)
(polarography of)

RN 15855-04-6 HCAPLUS

CN Isonicotinamide, 2,6-dimethoxy-N-(α-methylphenethyl)- (8CI) (CA INDEX NAME)



103 (cal)

L17 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:482064 HCAPLUS

DOCUMENT NUMBER: 67:82064

TITLE: Drugs from β-phenylisopropylamines. I. Derivatives containing a pyridine ring

AUTHOR(S): Kudryashova, N. I.; Khromov-Borisov, N. V.

CORPORATE SOURCE: Inst. Eksperim. Med. Akad. Med. Nauk., Leningrad, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1967), 3(6), 1117-21
CODEN: ZORKAE; ISSN: 0514-7492

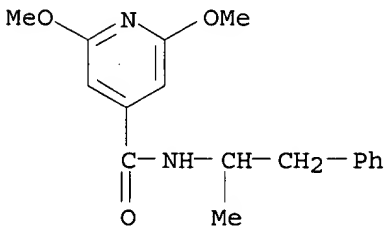
DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB A series of the title compds. of general formula PhCH2CMeHNHR (I) was synthesized. Compds. I (R = isonicotinyl) and I (R = 4-pyridyl) have sedative and hypotensive activities. The compds. were prepared by treating 2-R1-substituted, 6-R2-substituted isonicotinyl chloride (II) with PhCH2CMeHNH2. For example, to 15 g. isonicotinic acid 45 ml. SOCl2 was added slowly. The mixture was boiled to dissolve all the solids and evaporated to dryness in vacuum. The residue was dissolved in 60 ml. anhydrous benzene and 55 ml. PhCH2CMeHNH2 was added slowly. The mixture was refluxed 3 hrs., washed with water, dried with K2CO3, and evaporated in vacuo. The residue was

crystallized from MeOH to give 50.6% I (R = isonicotinyl) m. 11-12.5° (HCl salt m. 92-4°). II (R1 = R2 = Cl), m. 208-9° (alc.-water), was prepared in 91% yield by action of POCl3 on II (R1 = R2 = OH). Heating II (R1 = R2 = Cl) with NaOMe gave 93.3% II (R1 = Cl, R2 = OMe) m. 212-13° (alc.-water), and II (R1 = R2 = OMe), m. 226.5-28° (MeOH) (yield not given). Treating II with PhCH2CMeHNH2 gave the following I (R, % yield, and m.p. given): 2,6-dichloroisonicotinyl, 96.3, 137.5-38° (alc.-water); 2,6-dimethoxyisonicotinyl, 62, 88-91° (AcMe); 2-chloro-6-methoxyisonicotinyl, 60.8, 102-4° (alc.-water). Reaction of cinchoninyl chloride (prepared in situ from cinchoninic acid and SOCl2) with PhCH2CMeHNH2 gave 74.1% I (R = cinchoninyl), m. 140-4° (alc.-water).(HCl salt m. 205-7°). Similarly, I (R = 9-acridinylcarbonyl), m. 200-2° (alc.-water) (yield 84.5%) (HCl salt m. 282-3°) was prepared Heating a mixture of 2.85 g. I (R = 2,6-dichloroisonicotinyl) and 15 ml. Et2NH in a sealed tube 15 hrs. at 195-200° gave 70.1% I (R = 2,6-diethylaminoisonicotinyl), m. 167-9° (AcMe). The above sealed-tube reaction with .apprx.1/2 the amount of Et2NH gave 89.6% I (R = 2-chloro-6-ethylaminoisonicotinyl), m. 136-7° (alc.-water). Refluxing 2 hrs. at 200-5° a mixture of 6.05 g. PhCH2CMeHNH2.HCl with 6.22 g. 4-phenoxypyridine, followed by dissoln. in water, steam distillation (to remove PhCH2CMeHNH2), acidification, 2nd steam distillation (to remove PhOH), neutralization, and crystallization of the organic layer gave 55.7% I (R = 4-pyridyl), m. 122-3° (alc.-water).

IT 15855-04-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15855-04-6 HCAPLUS
CN Isonicotinamide, 2,6-dimethoxy-N-(α-methylphenethyl)- (8CI) (CA INDEX NAME)



=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	79.08	933.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.75	-9.75

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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(FILE 'HOME' ENTERED AT 11:42:01 ON 06 SEP 2006)

FILE 'REGISTRY' ENTERED AT 11:42:08 ON 06 SEP 2006
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L6 STRUCTURE UPLOADED
L7 2 S L6
L8 383 S L6 FULL

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FILE 'REGISTRY' ENTERED AT 11:55:51 ON 06 SEP 2006
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L19 0 S L17 AND MITOMO, K?/AU
L20 0 S L17 AND YAMADA, N?/AU
L21 0 S L17 AND TERAOKA, T?/AU
L22 0 S L17 AND SAKANAKA, O?/AU
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L24 0 S L17 AND TANIGUCHI, M?/AU

FILE 'CAOLD' ENTERED AT 12:05:33 ON 06 SEP 2006

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=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE TOTAL

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	ENTRY	SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.75

FILE 'HCAPLUS' ENTERED AT 12:05:45 ON 06 SEP 2006
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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s imamura, k?/au and mitomo, k?/au and yamada, n?/au and yamamoto, k?/au and
teraoka, t?/au and sakanaka, o?/au and kurihara, h?/au and taniguchi, m?/au
1427 IMAMURA, K?/AU
43 MITOMO, K?/AU
3789 YAMADA, N?/AU
18126 YAMAMOTO, K?/AU
382 TERAOKA, T?/AU
25 SAKANAKA, O?/AU
1421 KURIHARA, H?/AU
3956 TANIGUCHI, M?/AU
L26 1 IMAMURA, K?/AU AND MITOMO, K?/AU AND YAMADA, N?/AU AND YAMAMOTO,
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H?/AU AND TANIGUCHI, M?/AU

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L26 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:314676 HCAPLUS
DOCUMENT NUMBER: 132:334362
TITLE: Preparation of picolinamide derivatives and pest controllers containing the same as the active ingredient
INVENTOR(S): Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko; Yamamoto, Kazumi; Teraoka, Takeshi; Sakanaka, Osamu; Kurihara, Hiroshi; Taniguchi, Makoto
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 98 pp.

Updated Search

09830923

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000026191	A1	20000511	WO 1999-JP6142		19991104
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2353627	AA	20000511	CA 1999-2353627		19991104
EP 1134214	A1	20010919	EP 1999-954375		19991104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
AU 771975	B2	20040408	AU 2000-10768		19991104
PRIORITY APPLN. INFO.:			JP 1998-313688	A	19981104
			WO 1999-JP6142	W	19991104

OTHER SOURCE(S): MARPAT 132:334362
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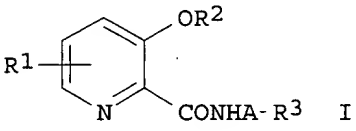

I

AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R1 is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R2 is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R3 is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R1 is hydrogen, A is a free valency or methylene, and R3 is Ph or cyclohexyl or those wherein A is alkylene and R3 is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides containing the same; and a process for the preparation of the compds.

Thus, a solution of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phenoxypicolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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